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(54) **SUBSTITUTED PYRIMIDINES AS
PHARMACEUTICALS AND INSECTICIDES**

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(52) **U.S. Cl.**

CPC **C07D 405/12** (2013.01); **A01N 43/54** (2013.01); **C07D 405/14** (2013.01); **C07D 409/14** (2013.01); **C07D 417/14** (2013.01)

(58) **Field of Classification Search**

CPC . A61K 31/506; C07D 239/42; C07D 317/50

USPC 514/256; 544/328; 549/434

See application file for complete search history.

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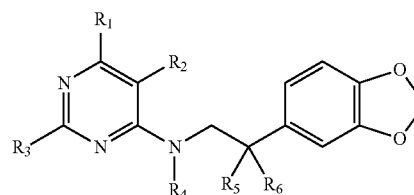
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(57) **ABSTRACT**

The invention discloses a homopiperonylamine compound which has a structural general formula I as follows as shown in the specification:



wherein definitions of substituents in the formula are as shown in the specification.

The compound shown as the general formula I has broad-spectrum bactericidal and insecticidal activity in the field of agriculture. The compound shown as the general formula I has a good prevention effect on various germs such as cucumber downy mildew, wheat powdery mildew, *puccinia sorghi*, rice blast and cucumber gray mold, and particularly, still has the good prevention and control effect on the cucumber downy mildew, the *puccinia sorghi* and the wheat powdery mildew at a lower dosage. At the same time, a part of compound has better insecticidal activity, and can be used for preventing and controlling various insect pests such as diamondback moths, *myzus persicae*, armyworms and *tetranychus cinabarinus* boisdu.

11 Claims, No Drawings

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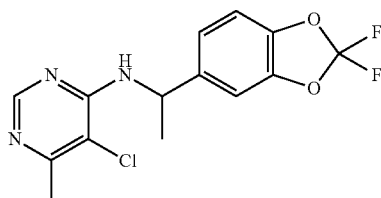
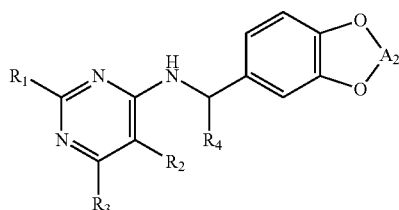
SUBSTITUTED PYRIMIDINES AS PHARMACEUTICALS AND INSECTICIDES

FIELD OF THE INVENTION

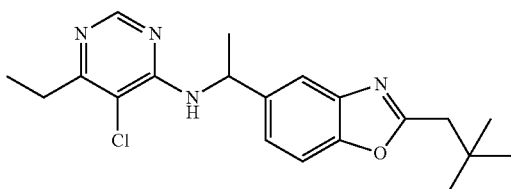
The present invention relates to the fungicide and insecticide. Specifically to substituted pyrimidines and uses thereof.

BACKGROUND OF THE INVENTION

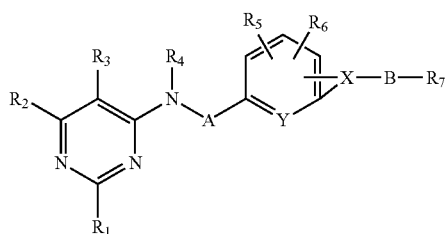
Homopiperonylbenzylamine compounds having following general formula and specific compound PC-1 were reported in Patent EP 424125A2, some compounds have some fungicidal and acaricidal activities at the concentration of 50-500 ppm.



The benzylamine compound containing benzoxazoly moiety as shown below was disclosed in patent WO 2001055143 applied as fungicide, insecticide and acaricide:

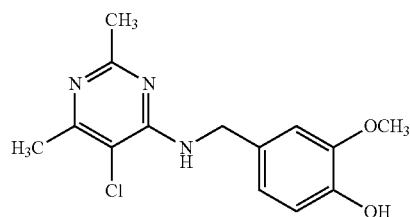


Patent WO 2002094832 published benzylamine compound having structural general formula as shown in the following and the specific compound:

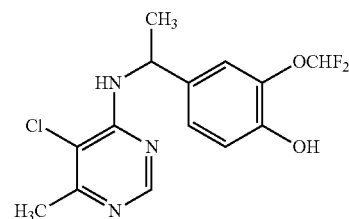
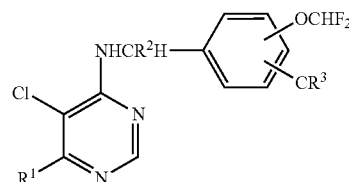


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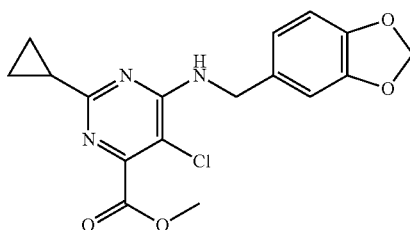
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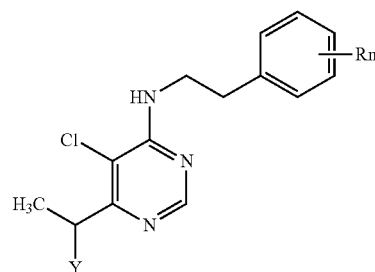
Patent EP 370704, EP 543402 and JP 07070085 published benzylamine compound having structural general formula as shown in the following and the specific compound:



Patent WO2009081112A2 disclosed homopiperonylbenzylamine compound as shown below with application as herbicide:

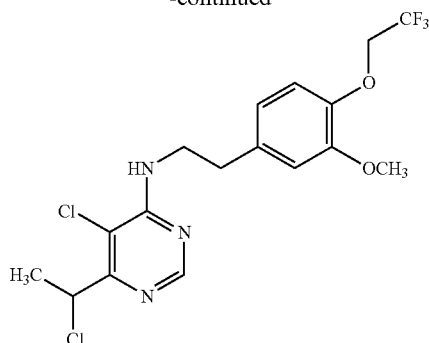


The following ethylamine compounds having general formula and the preparation method of the specific compound were reported in patent JP 10036355:

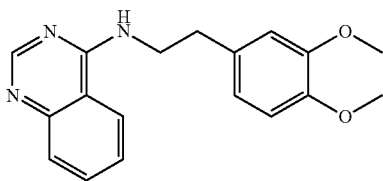


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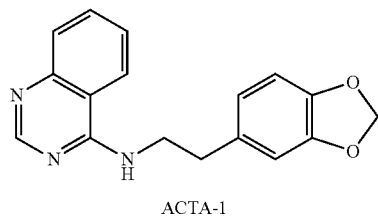
-continued



The following ethylamine compound was reported used as fungicide in patent JP54002325:



Acta Poloniae Pharmaceutica (1966), 23(1), 1-6 disclosed homopiperonylethylamine compound as shown below ACTA-1 applied as pharmaceutical:



In the prior art, although many homopiperonylbenzylamine, homopiperonylethylamine compounds somewhat similar to the structures in present invention, and benzylamine, ethylamine structures containing pyrimidinyl moiety, the substituted pyrimidines having general formula I of the present invention has not been reported.

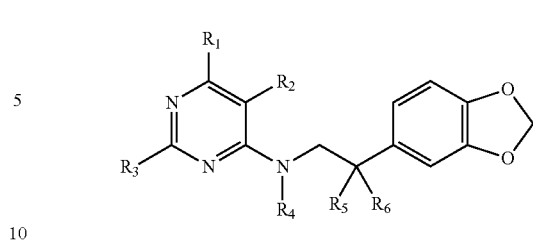
SUMMARY OF THE INVENTION

New pesticides with novel structure and excellent property are needed by modern agricultural production. The object of the present invention is to provide a kind of homopiperonylethylamine to control a variety of plant pathogens/diseases and/or insects/mites at very low doses, which can be used to prepare substances to control pathogens and insects/mites in agriculture and other field.

Detailed description of the invention is as follows:

The present invention provides a homopiperonylethylamine compounds having general formula I:

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Wherein:

R₁ is selected from halo, C₁-C₁₂-alkyl, C₃-C₁₂-cycloalkyl, haloC₁-C₁₂alkyl, C₁-C₁₂alkoxy, haloC₁-C₁₂alkoxy, C₃-C₁₂alkenyl, haloC₃-C₁₂alkenyl, C₃-C₁₂alkynyl, haloC₃-C₁₂alkynyl, C₁-C₁₂alkylsulfonyl, C₁-C₁₂alkylthio, C₁-C₁₂alkylsulfinyl, C₁-C₁₂alkylsulfonyl, COOH, C₁-C₁₂alkoxycarbonyl, CONH, C₁-C₁₂alkylaminocarbonyl, CONHCN, NHOCH₃, N(CH₃)NH₂, NHN(CH₃)₂, CONHCH₂CN, NH₂, C₁-C₁₂alkylamino, di(C₁-C₁₂alkyl) amino, cyanoC₁-C₁₂alkylamino, C₁-C₁₂alkylcarbonylamino, C₁-C₁₂alkoxycarbonylamino, C₁-C₁₂alkoxyC₁-C₁₂alkyl, C₁-C₁₂alkylthioC₁-C₁₂alkyl, C₁-C₁₂alkylsulfinylC₁-C₁₂alkyl, C₁-C₁₂alkylsulfonylC₁-C₁₂alkyl, hydroxylC₁-C₁₂alkyl or C₁-C₁₂alkylcarbonyloxyC₁-C₁₂alkyl;

R₂ is selected from H, halo, CN, NO₂, C₁-C₁₂alkyl, C₁-C₁₂alkoxy or haloC₁-C₁₂alkoxy;

R₃ is selected from H, halo, C₁-C₁₂alkyl, C₃-C₁₂cycloalkyl, haloC₁-C₁₂alkyl, C₁-C₁₂alkylthio, C₁-C₁₂alkoxy or haloC₁-C₁₂alkoxy;

R₄ is selected from H, OH, C(=O)H, C₁-C₁₂alkyl, haloC₁-C₁₂alkyl, C₁-C₁₂alkoxy, haloC₁-C₁₂alkoxy, C₃-C₁₂cycloalkyl, C₁-C₁₂alkylthio, C₂-C₁₂alkenylthio, C₂-C₁₂alkenyl, C₂-C₁₂alkynyl, haloC₂-C₁₂alkenyl, haloC₂-C₁₂alkynyl, C₁-C₁₂alkoxy C₁-C₁₂alkyl, haloC₁-C₁₂alkoxy C₁-C₁₂alkyl, C₁-C₁₂alkylthio C₁-C₁₂alkyl, haloC₁-C₁₂alkylthio C₁-C₁₂alkyl, C₁-C₁₂alkylsulfinyl, haloC₁-C₁₂alkylsulfinyl, C₁-C₁₂alkylsulfonyl, haloC₁-C₁₂alkylsulfonyl, C₁-C₁₂alkylaminosulfonyl, di(C₁-C₁₂alkyl)aminosulfonyl, C₁-C₁₂alkylsulfonylaminocarbonyl, C₁-C₁₂alkylcarbonylaminosulfonyl, C₃-C₁₂cycloalkyloxycarbonyl, C₁-C₁₂alkylcarbonyl, haloC₁-C₁₂alkylcarbonyl, C₁-C₁₂alkoxycarbonyl, haloC₁-C₁₂alkoxycarbonyl, C₁-C₁₂alkylcarbonylC₁-C₁₂alkyl, C₁-C₁₂alkoxycarbonyl C₁-C₁₂alkyl, C₁-C₁₂alkylaminocarbonyl, di(C₁-C₁₂alkyl)aminocarbonyl, C₂-C₁₂alkenoxycarbonyl, C₂-C₁₂alkynoxycarbonyl, C₁-C₁₂alkoxy C₁-C₁₂alkoxycarbonyl, C₁-C₁₂alkylaminothio, di(C₁-C₁₂alkyl)aminothio, optionally substituted arylcarbonyl C₁-C₆alkyl, arylcarbonyl, arylloxycarbonyl, arylC₁-C₆alkyloxycarbonyl, arylC₁-C₆alkyl or heteroaryl C₁-C₆alkyl wherein substituents are independently selected from the group consisting of halo, NO₂, CN, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₆alkoxy or haloC₁-C₆alkoxy;

R₅, R₆ are independently selected from H, C₁-C₈alkyl, C₃-C₈cycloalkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, haloC₂-C₈alkenyl, haloC₂-C₈alkynyl, C₁-C₈alkoxy C₁-C₈alkyl, optionally substituted arylC₁-C₄alkyl or heteroaryl C₁-C₄alkyl, wherein substituents are independently selected from the group consisting of halo, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy or haloC₁-C₄alkoxy;

or R₅ and R₆, together with the carbon to which they are attached, form a C₃-C₈ carbocycle;

or an agricultural or pharmaceutical salt thereof.

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R₅, R₆ may be the same or different, selected respectively from H, C₁-C₈alkyl, C₃-C₈cycloalkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, haloC₂-C₈alkenyl, haloC₂-C₈ alkynyl, C₁-C₈ alkoxy C₁-C₈alkyl, unsubstituted or further substituted arylC₁-C₄alkyl or heteroaryl C₁-C₄alkyl by 1 to 3 following groups: halo, C₁-C₄alkyl, haloC₁-C₄alkyl; C₁-C₄ alkoxy or haloC₁-C₄ alkoxy;

CR₅R₆ can also form C₃-C₈ cycle;

Or the salts formed from the compounds of general formula I.

The preferred compounds of this invention are: In the general formula I

R₁ is selected from halo, C₁-C₈alkyl, haloC₁-C₈ alkyl, C₃-C₈cycloalkyl, C₁-C₈alkoxy, haloC₁-C₈alkoxy, C₃-C₈ alkenyloxy, haloC₃-C₈ alkenyloxy, C₃-C₈ alkynyloxy, haloC₃-C₈ alkynyloxy, C₁-C₈ alkylsulfonyloxy, C₁-C₈ alkylthio, C₁-C₈ alkylsulfinyl, C₁-C₈ alkylsulfonyl, NH₂, C₁-C₈alkylamino, di(C₁-C₈alkyl)amino, cyanoC₁-C₈ alkylamino, C₁-C₈ alkylcarbonylamino, C₁-C₈ alkoxy carbonylamino, C₁-C₈ alkoxyC₁-C₈alkyl, C₁-C₈ alkylthio C₁-C₈alkyl, C₁-C₈ alkylsulfinyl, C₁-C₈alkyl, C₁-C₈ alkylsulfonyl C₁-C₈ alkyl, hydroxy C₁-C₈ alkyl or C₁-C₈alkylcarbonyloxyC₁-C₈alkyl;

R₂ is selected from H, halo, CN, NO₂, C₁-C₈alkyl, C₁-C₈alkoxy or haloC₁-C₈alkoxy;

R₃ is selected from H, halo or C₁-C₈alkyl;

R₄ is selected from H, OH, C(=O)H, C₁-C₈alkyl, haloC₁-C₈alkyl, C₁-C₈alkoxy, haloC₁-C₈alkoxy, C₃-C₈cycloalkyl, C₁-C₈alkylthio, C₂-C₈alkenylthio, C₂-C₈alkenyl, C₂-C₈alkynyl, haloC₂-C₈alkenyl, haloC₂-C₈alkynyl, C₁-C₈alkoxy C₁-C₈alkyl, haloC₁-C₈alkoxy C₁-C₈alkyl, C₁-C₈alkylthio C₁-C₈alkyl, haloC₁-C₈alkylthio C₁-C₈alkyl, C₁-C₈alkylsulfinyl, haloC₁-C₈alkylsulfinyl, C₁-C₈alkylsulfonyl, haloC₁-C₈alkylsulfonyl, C₁-C₈alkylaminosulfonyl, di(C₁-C₈alkyl)aminosulfonyl, C₁-C₈alkylsulfonylaminocarbonyl, C₁-C₈alkylcarbonylaminosulfonyl, C₃-C₈cycloalkyloxycarbonyl, C₁-C₈alkylcarbonyl, haloC₁-C₈alkylcarbonyl, C₁-C₈alkoxy carbonyl, haloC₁-C₈alkoxy carbonyl, C₁-C₈alkylcarbonylC₁-C₈alkyl, C₁-C₈alkoxy carbonyl C₁-C₈alkyl, C₁-C₈alkylaminocarbonyl, di(C₁-C₈alkyl)aminocarbonyl, C₂-C₈alkenoxycarbonyl, C₂-C₈alkynoxycarbonyl, C₁-C₈alkoxy C₁-C₈alkoxy carbonyl, C₁-C₈alkylaminothio, di(C₁-C₈alkyl)aminothio, optionally substituted arylcarbonyl C₁-C₄alkyl, arylcarbonyl, aryloxycarbonyl, arylC₁-C₆alkyloxycarbonyl, arylC₁-C₆alkyl or heteroaryl C₁-C₆alkyl, wherein substituents are independently selected from the group consisting of halo, NO₂, CN, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy or haloC₁-C₄alkoxy;

R₅, R₆ are independently selected respectively from H, C₁-C₈alkyl, C₃-C₈cycloalkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, haloC₂-C₈alkenyl, haloC₂-C₈alkynyl, C₁-C₈alkoxy C₁-C₈alkyl, optionally substituted arylC₁-C₄alkyl or heteroaryl C₁-C₄alkyl wherein substituents are independently selected from the group consisting of halo, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy or haloC₁-C₄alkoxy;

or R₅ and R₆, together with the carbon to which they are attached form a C₃-C₈ carbocycle;

or an agricultural or pharmaceutical salt thereof.

The further preferred compounds of this invention are: In the general formula I

R₁ is selected from halo, C₁-C₄alkyl, haloC₁-C₄alkyl, C₃-C₆cycloalkyl, C₁-C₄alkoxy, halo C₁-C₄alkoxy, C₃-C₆alkenyl, haloC₃-C₆alkenyl, C₃-C₆alkynyloxy, haloC₃-C₆alkynyloxy, C₁-C₄alkylsulfonyloxy, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl, NH₂, C₁-C₄alkylamino, di(C₁-C₄alkyl)amino, cyano

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C₁-C₄alkylamino, C₁-C₄alkylcarbonylamino, C₁-C₄alkoxy carbonylamino, C₁-C₄alkoxy C₁-C₄alkyl, C₁-C₄alkylthio C₁-C₄alkyl, C₁-C₄alkylsulfinyl C₁-C₄alkyl, C₁-C₄alkylsulfonyl C₁-C₄alkyl, hydroxyl C₁-C₄alkyl or C₁-C₄alkylcarbonyloxy C₁-C₄alkyl;

R₂ is selected from H, halo, CN, NO₂, C₁-C₄alkyl, C₁-C₄alkoxy or halo C₁-C₄alkoxy;

R₃ is selected from H, halo or C₁-C₄alkyl;

R₄ is selected from H, OH, C(=O)H, C₁-C₄alkyl, halo C₁-C₄alkyl, C₁-C₄alkoxy, C₂-C₄alkenylthio, C₃-C₆cycloalkyl, C₁-C₄alkylthio, C₂-C₄alkenyl, C₂-C₄alkynyl, haloC₂-C₄alkenyl, haloC₂-C₄alkynyl, C₁-C₄alkoxy C₁-C₄alkyl, halo C₁-C₄alkoxy C₁-C₄alkyl, C₁-C₄alkylthioC₁-C₄alkyl, haloC₁-C₄alkylthioC₁-C₄alkyl, C₁-C₄alkylsulfinyl, halo C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl, halo C₁-C₄alkylsulfonyl, C₁-C₄alkylaminosulfonyl, di(C₁-C₄alkyl)aminosulfonyl, C₁-C₄alkylsulfonylaminocarbonyl, C₁-C₄alkylcarbonylaminosulfonyl, C₃-C₆cycloalkyloxycarbonyl, C₁-C₄alkylcarbonyl, halo C₁-C₄alkylcarbonyl, C₁-C₄alkoxy carbonyl, halo C₁-C₄alkoxy carbonyl, C₁-C₄alkylcarbonyl C₁-C₄alkyl, C₁-C₄alkoxy carbonyl C₁-C₄alkyl, C₁-C₄alkylaminocarbonyl, di(C₁-C₄alkyl)aminocarbonyl, C₂-C₄alkenoxycarbonyl, C₂-C₄alkynoxycarbonyl, C₁-C₄alkoxy C₁-C₄alkoxy carbonyl, C₁-C₄alkylaminothio, di(C₁-C₄alkyl)aminothio, optionally substituted arylcarbonyl C₁-C₄alkyl, arylcarbonyl, aryloxycarbonyl, arylC₁-C₄alkyloxycarbonyl, arylC₁-C₄alkyl or heteroaryl C₁-C₄alkyl, wherein substituents are independently selected from the group consisting of halo, NO₂, CN, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy or haloC₁-C₄alkoxy;

R₅, R₆ are independently selected respectively from H, C₁-C₄alkyl, C₃-C₆cycloalkyl, C₂-C₄alkenyl, C₂-C₄alkynyl, haloC₂-C₄alkenyl, haloC₂-C₄alkynyl, C₁-C₄alkoxy C₁-C₄alkyl, optionally substituted arylC₁-C₄alkyl or heteroaryl C₁-C₄alkyl, wherein substituents are independently selected from the group consisting of halo, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy or haloC₁-C₄alkoxy;

or R₅ and R₆, together with the carbon to which the are attached, form a C₃-C₈ carbocycle;

or an agricultural or pharmaceutical salt thereof selected from the group consisting of hydrochloric acid, sulfuric acid, phosphoric acid, formic acid, acetic acid, trifluoroacetic acid, oxalic acid, methylsulfonic acid, p-toluenesulfonic acid, benzoic acid, alizaric acid, maleic acid, sorbic acid, malic acid and citric acid.

The further preferred compounds of this invention are: In the general formula I

R₁ is selected from halo, C₁-C₄alkyl, CF₃, CHF₂, CCl₃, CHCl₂, C₃-C₆cycloalkyl, C₁-C₄alkoxy, halo C₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl, C₁-C₄alkoxyC₁-C₄alkyl or C₁-C₄alkylthio C₁-C₄alkyl;

R₂ is selected from H, halo, CN, NO₂, C₁-C₄alkyl, C₁-C₄alkoxy or halo C₁-C₄alkoxy;

R₃ is selected from H or C₁-C₄alkyl;

R₄ is selected from H, C(=O)H, C₁-C₄alkyl, C₃-C₆cycloalkyl, optionally substituted arylcarbonyl C₁-C₄alkyl, arylcarbonyl, aryloxycarbonyl, arylC₁-C₄alkyloxycarbonyl, arylC₁-C₄alkyl or heteroaryl C₁-C₄alkyl, wherein substituents are independently selected from the group consisting of halo, NO₂, CN, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy or haloC₁-C₄alkoxy;

R₅, R₆ are independently selected respectively from H or C₁-C₄alkyl;

or an agricultural or pharmaceutical salt thereof selected from the group consisting of hydrochloric acid, sulfuric acid, phosphoric acid, formic acid, acetic acid, trifluoroacetic

acid, oxalic acid, methylsulfonic acid, p-toluenesulfonic acid, benzoic acid, alizaric acid, maleic acid, sorbic acid, malic acid and citric acid.

The further preferred compounds of this invention are: In the general formula I

R₁ is selected from halo, C₁-C₄alkyl, C₃-C₆cycloalkyl, CF₃ or CHF₂;

R₂ is selected from H, halo, CN, NO₂ or C₁-C₄alkyl;

R₃ is H;

R₄ is H;

R₅, R₆ are selected respectively from H, CH₃ or C₂H₅;

or an agricultural or pharmaceutical salt thereof selected from the group consisting of hydrochloric acid, sulfuric acid, phosphoric acid, formic acid, acetic acid, trifluoroacetic acid, oxalic acid, methylsulfonic acid, p-toluenesulfonic acid, benzoic acid, alizaric acid, maleic acid, sorbic acid, malic acid and citric acid.

The further preferred compounds of this invention are: In the general formula I

R₁ is selected from F, Cl, Br, CH₃, C₂H₅, n-C₃H₇, i-C₃H₇, n-C₄H₉, i-C₄H₉, t-C₄H₉, cyclopropyl, CF₃ or CHF₂;

R₂ is Cl;

R₃ is H;

R₄ is H;

R₅, R₆ are selected respectively from H, CH₃ or C₂H₅;

or an agricultural or pharmaceutical salt thereof selected from the group consisting of hydrochloric acid, sulfuric acid, phosphoric acid, formic acid, acetic acid, trifluoroacetic acid, oxalic acid, methylsulfonic acid, p-toluenesulfonic acid, benzoic acid, alizaric acid, maleic acid, sorbic acid, malic acid and citric acid.

The most preferred compounds of this invention are: In the general formula I

R₁ is selected from Cl, CH₃, C₂H₅, CF₃ or CHF₂;

R₂ is Cl;

R₃ is H;

R₄ is H;

R₅ is H; and R₆ is H;

or an agricultural or pharmaceutical salt thereof selected from the group consisting of hydrochloric acid, sulfuric acid, phosphoric acid, trifluoroacetic acid, methylsulfonic acid and p-toluenesulfonic acid.

The terms used above to definite the compounds of general formula I represent substitutes as follow:

The "halogen" or "halo" is fluorine, chlorine, bromine or iodine.

The "alkyl" stands for straight or branched chain alkyl, such as methyl, ethyl, propyl, isopropyl or tert-butyl.

The "cycloalkyl" is substituted or unsubstituted cyclic alkyl, such as cyclopropyl, cyclopentyl or cyclohexyl. The substitute(s) is(are) methyl, halogen, etc.

The "haloalkyl" stands for straight or branched chain alkyl, in which hydrogen atoms can be all or partly substituted with halogen, such as chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, etc.

The "alkoxy" refers to straight or branched chain alkyl, which is linked to the structure by oxygen atom.

The "alkenylthio" refers to straight or branched chain alkenyl, which is linked to the structure by sulfur atom. Such as CH₂=CHCH₂S—.

The "haloalkoxy" refers to straight or branched chain alkoxy, in which hydrogen atoms may be all or partly substituted with halogen, such as chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, chlorofluoromethoxy, trifluoro-

roethoxy, etc. The "alkylthio" refers to straight or branched chain alkyl, which is linked to the structure by sulfur atom.

The "alkenyl" refers to straight or branched chain alkenyl, such as ethenyl, 1-propenyl, 2-propenyl and different isomer of butenyl, pentenyl and hexenyl. Alkenyl also includes polyene, such as propa-1,2-dienyl and hexa-2,4-dienyl. The "haloalkenyl" stands for straight or branched chain alkenyl, in which hydrogen atoms can be all or partly substituted with halogen. The "alkynyl" refers to straight or branched chain alkynyl, such as ethynyl, 1-propynyl, 2-propynyl and different isomer of butynyl, pentynyl and hexynyl. Alkynyl also includes groups including more than one triple bonds, such as hexa-2,5-diynyl. The "haloalkynyl" stands for straight or branched chain alkynyl, in which hydrogen atoms can be all or partly substituted with halogen.

The alkenoxyl refers to straight or branched chain alkynes is linked to the structure by oxygen, The haloalkenoxyl stands for a straight-chain or branched alkenoxyl, in which hydrogen atoms may be all or partly substituted with halogen. The alkynoxyl refers to straight or branched chain alkynes is linked to the structure by oxygen. The haloalkynoxyl stands for a straight-chain or branched alkynoxyl, in which hydrogen atoms may be all or partly substituted with halogen.

The "alkylamino" refers to straight or branched chain alkyl, which is linked to the structure by nitrogen atom. The "cyanoalkylamino" refers to alkylamino, in which hydrogen atoms may be all or partly substituted with cyano, such as CNCH₂NH—, CNCH₂CH₂NH—.

The "alkylsulfanyl" means a straight-chain or branched alkyl is linked to the structure by (—SO—), such as methylsulfanyl.

The "haloalkylsulfanyl" stands for a straight-chain or branched alkylsulfanyl, in which hydrogen atoms may be all or partly substituted with halogen.

The "alkylsulfonyl" means a straight-chain or branched alkyl is linked to the structure by (—SO₂—), such as methylsulfonyl.

The "haloalkylsulfonyl" stands for a straight-chain or branched alkylsulfonyl, in which hydrogen atoms may be all or partly substituted with halogen.

The "alkylaminosulfonyl" refers to alkyl-NH—SO₂—. The "dialkylaminosulfonyl" refers to (alkyl)₂-NH—SO₂—. The "alkylsulfonylaminocarbonyl" refers to alkyl-SO₂—NH—CO—. The "alkylcarbonylaminosulfonyl" refers to alkyl-CO—NH—SO₂—. The "alkylcarbonyl" means alkyl is linked to the structure by carbonyl, such as CH₃CO—, CH₃CH₂CO—. The "haloalkylcarbonyl" stands for a straight-chain or branched alkylcarbonyl, in which hydrogen atoms may be all or partly substituted with halogen, such as CF₃CO—.

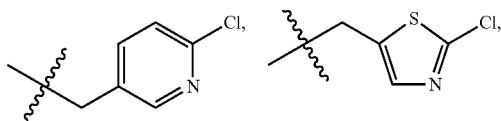
The "alkylcarbonylalkyl" refers to alkyl-CO-alkyl-. The "alkylcarbonylamino" such as CH₃CONH—, CH₃CH₂NHCONH—. The "alkylsulfonyloxy" means alkyl-S(O)₂-O—. The "alkoxycarbonyl" means alkyl-O—CO—, such as CH₃OCO—, C₂H₅OCO—. The "cycloalkyloxycarbonyl" means cyclopropyloxycarbonyl, cyclohexyloxycarbonyl. The "haloalkoxycarbonyl" means alkoxycarbonyl, in which hydrogen atoms can be all or partly substituted with halogen, such as ClCH₂CH₂OCO—, CF₃CH₂OCO—.

The "alkenoxycarbonyl" means CH₂=CHCH₂OCO—. The "alkynoxycarbonyl" means CH≡CCH₂OCO—. The "alkoxyalkoxycarbonyl" stands for CH₃OCH₂CH₂OCO—. The "alkylaminothio" refers to CH₃NHS—, C₂H₅NHS—. The "dialkylaminothio" refers to (CH₃)₂NS—, (C₂H₅)₂NS—. The "alkoxycarbonylalkyl" refers to alkyl-O—CO-alkyl, such as CH₃OCOCH₂—. The "alkoxycarbo-

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nylamino" refers to alkyl-O—CO—NH. The "alkoxyalkyl" means alkyl-O-alkyl-, such as CH₃OCH₂—. The "alkylthioalkyl" means alkyl-S-alkyl-, such as CH₃SCH₂—. The "haloalkoxyalkyl" refers to alkoxyalkyl, in which hydrogen atom may be all or partly substituted with halogen, such as ClCH₂CH₂OCH₂—, CF₃CH₂OCH₂—. The "halo alkylthioalkyl" refers to alkylthioalkyl, in which hydrogen atom may be all or partly substituted with halogen, such as ClCH₂CH₂SCH₂—, CF₃CH₂SCH₂—. The "alkylaminocarbonyl" means alkyl-NH—CO—, such as CH₃NHCO—, C₂H₅NHCO—. The "dialkylaminocarbonyl" means (alkyl)₂-NH—CO—, such as (CH₃)₂-N—CO—, (C₂H₅)₂-N—CO—. The "hydroxyalkyl" refers to HOCH₂—. The "alkylcarbonyloxyalkyl" such as CH₃COOCH₂—.

The "aryl" in arylalkyl, arylcarbonyl, arylcarbonylalkyl, aryloxy carbonyl and arylalkyloxy carbonyl includes phenyl or naphthyl etc. The "heteroaryl" in heteroarylalkyl stands for five member ring or six member ring containing one or more N, O, S hetero atoms, such as furyl, pyrazolyl, thiazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, triazinyl, quinolinyl, etc. Arylalkyl means benzyl, phenylethyl, 4-Cl-benzyl, etc. The heteroarylalkyl such as



etc.

Arylcarbonyl refers to benzoyl, 4-Cl-benzoyl, etc. Arylcarbonylalkyl refers to PhCOCH₂—, etc.

Aryloxy carbonyl such as phenoxycarbonyl, p-chlorophenoxycarbonyl, p-nitrophenoxycarbonyl, naphthylloxycarbonyl, etc.

Arylalkyloxy carbonyl means benzyloxy carbonyl, p-chlorobenzyloxy carbonyl, p-trifluoromethylbenzyloxy carbonyl, etc.

In the general formula I, part of preferred substituents of R₁, R₂, R₃, R₄, R₅ and R₆ are separately listed in table 1 to table 5, but without being restricted thereby.

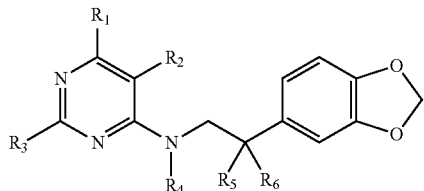


TABLE 1

R ₁ substituents			
R ₁	R ₁	R ₁	R ₁
F	CHF ₂	SCH ₃	NHOCH ₃
Cl	CHBr ₂	SOCH ₃	NHOC ₂ H ₅
Br	CF ₃	SO ₂ CH ₃	NHCOCH ₃
I	CH(CH ₃)F	COOH	NHCOC ₂ H ₅
CH ₃	CH(CH ₃)Cl	COOCH ₃	NHCOOCH ₃
C ₂ H ₅	CH(CH ₃)Br	COOC ₂ H ₅	NHCOOC ₂ H ₅

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TABLE 1-continued

R ₁ substituents			
R ₁	R ₁	R ₁	R ₁
5 n-C ₃ H ₇	CH(n-C ₄ H ₉)F	CONH ₂	N(CH ₃)NH ₂
i-C ₃ H ₇	CH(CH ₃) ₂ F	CONHCH ₃	NHN(CH ₃) ₂
n-C ₄ H ₉	OCH ₃	CONHCN	CH ₂ OCH ₃
10 i-C ₄ H ₉	OC ₂ H ₅	CONHCH ₂ CN	CH ₂ OCH ₂ CH ₃
t-C ₄ H ₉	OCF ₃	CON(CH ₃) ₂	CH ₂ CH ₂ OCH ₃
15	OCH ₂ CH=CH ₂	NH ₂	CH ₂ CH ₂ OCH ₂ CH ₃
20	OCH ₂ CH=CHCl	NHCH ₃	CH(CH ₃)SCH ₃
	OCH ₂ C=CH	NHC ₂ H ₅	CH(CH ₃)SOCH ₃
25 CH ₂ Cl	OCH ₂ C=C—I	N(CH ₃) ₂	CH(CH ₃)SO ₂ CH ₃
CHCl ₂	OCH ₂ C=CCH ₃	N(C ₂ H ₅) ₂	CH(CH ₃)OH
CCl ₃	OSO ₂ CH ₃	NHCH ₂ CN	CH(CH ₃)OCOCH ₃

TABLE 2

R ₂ substituents			
R ₂	R ₂	R ₂	R ₂
35 H	NO ₂	t-C ₄ H ₉	OC ₄ H ₉ -i
F	CH ₃	OCH ₃	OC ₄ H ₉ -t
Cl	C ₂ H ₅	OC ₂ H ₅	OCH ₂ F
Br	n-C ₃ H ₇	OC ₃ H ₇ -n	OCHF ₂
I	i-C ₃ H ₇	OC ₃ H ₇ -i	OCF ₃
40 CN	n-C ₄ H ₉	OC ₄ H ₉ -n	OCH ₂ CF ₃

TABLE 3

R ₃ substituents				
R ₃	R ₃	R ₃	R ₃	R ₃
45 H	i-C ₃ H ₇	CHF ₂	OCH ₃	OCH ₂ CF ₃
F	n-C ₄ H ₉	CHBr ₂	OC ₂ H ₅	SCH ₃
50 Cl	t-C ₄ H ₉	CF ₃	OC ₃ H ₇ -n	SC ₂ H ₅
Br		CH(CH ₃)F	OC ₃ H ₇ -i	SC ₃ H ₇ -n
55 I		CH(CH ₃)Cl	OC ₄ H ₉ -n	SC ₃ H ₇ -i
60 CH ₃		CH(CH ₃)Br	OC ₄ H ₉ -i	SC ₄ H ₉ -n
65 C ₂ H ₅	CHCl ₂	CH(n-C ₄ H ₉)F	OC ₄ H ₉ -t	SC ₄ H ₉ -i
n-C ₃ H ₇	CCl ₃	CH(CH ₃) ₂ F	OCF ₃	SC ₄ H ₉ -t

TABLE 4

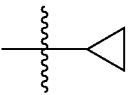
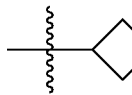
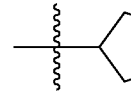
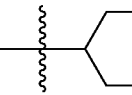
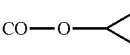
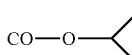
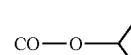
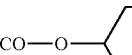
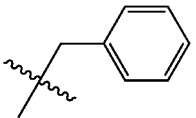
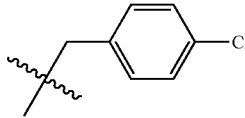
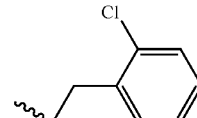
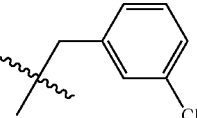
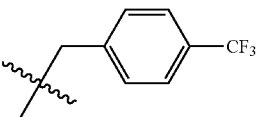
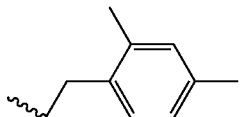
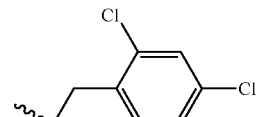
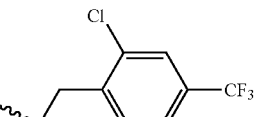
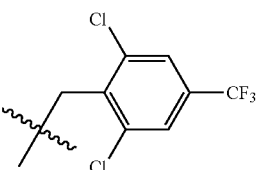
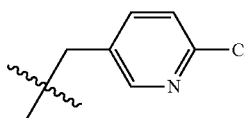
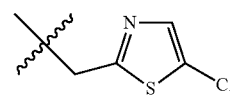
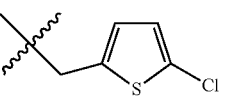
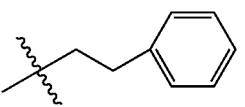
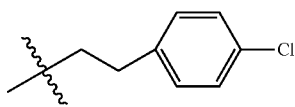
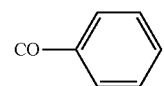
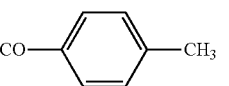
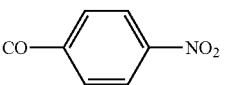
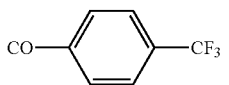
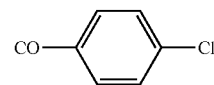
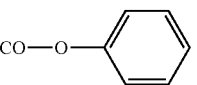
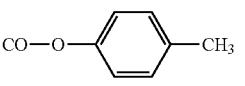
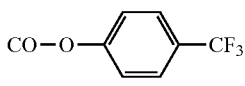
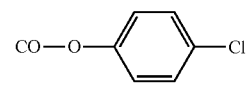
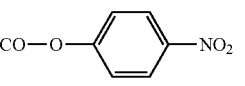
R ₄ substituents			
R ₄	R ₄	R ₄	R ₄
H	OH	—C(=O)H	CBr ₃
CH ₃	C ₂ H ₅	n-C ₃ H ₇	i-C ₃ H ₇
n-C ₄ H ₉	i-C ₄ H ₉	t-C ₄ H ₉	CCl ₃
CH ₂ Br	CHF ₂	CHBr ₂	CF ₃
CH ₂ Cl	CHCl ₂	CCl ₃	CH ₂ F
OCH ₃	OC ₂ H ₅	OCH(CH ₃) ₂	OC(CH ₃) ₃
OCF ₃	OCH ₂ CF ₃	OCH ₂ F	OCHF ₂
SCH ₃	SC ₂ H ₅	SCH ₂ CH=CH ₂	CH=CH ₂
CH ₂ CH=CH ₂	CH ₂ CH=CCl ₂	C≡CH	CH ₂ C≡CH
CH ₂ C≡C—I	CH ₂ OCH ₃	CH ₂ OCH ₂ CH ₃	CH ₂ CH ₂ OCH ₃
CH ₂ CH ₂ OCH ₂ CH ₃	CH ₂ OCH ₂ Cl	CH ₂ OCH ₂ CH ₂ Cl	CH ₂ CH ₂ OCH ₂ Cl
CH ₂ SCH ₃	CH ₂ SCH ₂ CH ₃	CH ₂ CH ₂ SCH ₃	CH ₂ CH ₂ SCH ₂ CH ₃
CH ₂ SCH ₂ Cl	CH ₂ SCH ₂ CH ₂ Cl	CH ₂ CH ₂ SCH ₂ Cl	SOCH ₃
SOC ₂ H ₅	SOCF ₃	SOCH ₂ CF ₃	SO ₂ CH ₃
SO ₂ C ₂ H ₅	SO ₂ CF ₃	SO ₂ CH ₂ CF ₃	SO ₂ NHCOCH ₃
SO ₂ NHCH ₃	SO ₂ N(CH ₃) ₃	CONHSO ₂ CH ₃	COCH ₃
COC ₂ H ₅	CO-n-C ₃ H ₇	CO-i-C ₃ H ₇	CO-n-C ₄ H ₉
CO-i-C ₄ H ₉	CO-t-C ₄ H ₉	COCF ₃	COCH ₂ Cl
COOCH ₃	COOC ₂ H ₅	COO-n-C ₃ H ₇	COO-t-C ₄ H ₉
COOCF ₃	COOCH ₂ CH ₂ Cl	COOCH ₂ CF ₃	CH ₂ COOCH ₃
CH ₂ COOC ₂ H ₅	CH ₂ COCH ₃	CH ₂ COC ₂ H ₅	CONHCH ₃
CONHC ₂ H ₅	CONH-t-C ₄ H ₉	CON(CH ₃) ₂	CON(C ₂ H ₅) ₂
COOCH ₂ CH=CH ₂	COOCH ₂ C≡CH	COOCH ₂ OCH ₃	COOCH ₂ CH ₂ OCH ₃
SNHCH ₃	SNHC ₂ H ₅	SN(CH ₃) ₂	SN(C ₂ H ₅) ₂
			
			
			
			
			
			
			
			

TABLE 4-continued

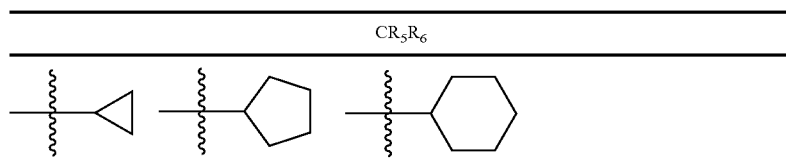
R ₄ substituents			
R ₄	R ₄	R ₄	R ₄

TABLE 5

R ₅ (R ₆) substituents			
R ₅ (R ₆)	R ₅ (R ₆)	R ₅ (R ₆)	R ₅ (R ₆)
H		CH ₂ C=CH	
CH ₃		CH ₂ CH=CCl ₂	
C ₂ H ₅		CH ₂ C=C—I	
n-C ₃ H ₇	t-C ₄ H ₉	CH ₂ OCH ₃	
i-C ₃ H ₇	CH=CH ₂	CH ₂ OCH ₂ CH ₃	
n-C ₄ H ₉	C≡CH	CH ₂ CH ₂ OCH ₃	
i-C ₄ H ₉	CH ₂ CH=CH ₂	CH ₂ CH ₂ OCH ₂ CH ₃	

15

TABLE 5-continued



16

The present invention is also explained by the following compounds listed in Table 6 to Table 23, but without being restricted thereby.

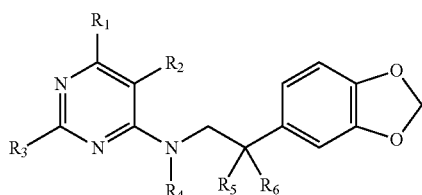


Table 6: in general formula I, $R_2=Cl$, $R_3=R_4=R_5=R_6=H$, the substituent R_1 refers to Table 6, the representative compounds are coded as 1-65.

TABLE 6

No.	R_1
1	F
2	Cl
3	Br
4	I
5	CH ₃
6	C ₂ H ₅
7	n-C ₃ H ₇
8	i-C ₃ H ₇
9	n-C ₄ H ₉
10	i-C ₄ H ₉
11	t-C ₄ H ₉
12	
13	
14	
15	CH ₂ Cl
16	CHCl ₂
17	CCl ₃
18	CHF ₂
19	CHBr ₂
20	CF ₃
21	CH(CH ₃)F
22	CH(CH ₃)Cl
23	CH(CH ₃)Br
24	CH(CH ₃) ₂ F
25	OCH ₂ CH=CH ₂
26	OCH ₂ CH=CHCl
27	OCH ₂ C=CH
28	OCH ₂ C=CI
29	OCH ₂ C=CCH ₃

TABLE 6-continued

No.	R_1
30	OSO ₂ CH ₃
31	OCH ₃
32	OC ₂ H ₅
33	OCH ₂ F
34	OCF ₃
35	SCH ₃
36	SOCH ₃
37	SO ₂ CH ₃
38	COOH
39	COOCH ₃
40	COOC ₂ H ₅
41	CONH ₂
42	CONHCH ₃
43	CONHCN
44	CONHCH ₂ CN
45	CON(CH ₃) ₂
46	NH ₂
47	NHCH ₃
48	NHC ₂ H ₅
49	N(CH ₃) ₂
50	N(C ₂ H ₅) ₂
51	NHCH ₂ CN
52	NHOCH ₃
53	NHCOCH ₃
54	NHCOOC ₂ H ₅
55	N(CH ₃)NH ₂
56	NHN(CH ₃) ₂
57	CH ₂ OCH ₃
58	CH ₂ OCH ₂ CH ₃
59	CH ₂ CH ₂ OCH ₃
60	CH ₂ CH ₂ OCH ₂ CH ₃
61	CH(CH ₃)SCH ₃
62	CH(CH ₃)SOCH ₃
63	CH(CH ₃)SO ₂ CH ₃
64	CH(CH ₃)OH
65	CH(CH ₃)OCOCH ₃

Table 7: in general formula I, $R_2=Cl$, $R_3=Cl$, $R_4=R_5=R_6=H$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 66-130.

Table 8: in general formula I, $R_2=Cl$, $R_3=CH_3$, $R_4=R_5=R_6=H$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 131-195.

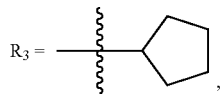
Table 9: in general formula I, $R_2=Cl$,



Table 10: in general formula I, $R_2=Cl$, $R_3=CH_3$, $R_4=R_5=R_6=H$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 196-260.

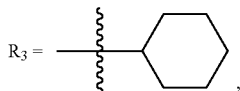
17

Table 10: in general formula I, $R_2=Cl$,



$R_4=R_5=R_6=H$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 261-325.

Table 11: in general formula I, $R_2=Cl$,



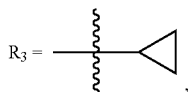
$R_4=R_5=R_6=H$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 326-390.

Table 12: in general formula I, $R_2=Cl$, $R_3=R_4=R_5=H$, $R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 391-455,

Table 13: in general formula I, $R_2=Cl$, $R_3=Cl$, $R_4=R_5=H$, $R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 456-520.

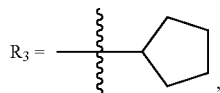
Table 14: in general formula I, $R_2=Cl$, $R_3=CH_3$, $R_4=R_5=H$, $R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 521-585.

Table 15: in general formula I, $R_2=Cl$,



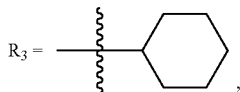
$R_4=R_5=H$, $R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 586-650.

Table 16: in general formula I, $R_2=Cl$,



$R_4=R_5=H$, $R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 651-715.

Table 17: in general formula I, $R_2=Cl$,



$R_4=R_5=H$, $R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 716-780.

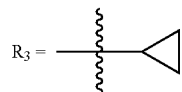
18

Table 18: in general formula I, $R_2=Cl$, $R_3=R_4=H$, $R_5=R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 781-845.

Table 19: in general formula I, $R_2=Cl$, $R_3=Cl$, $R_4=H$, $R_5=R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 846-910.

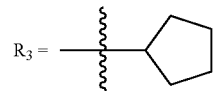
Table 20: in general formula I, $R_2=Cl$, $R_3=CH_3$, $R_4=H$, $R_5=R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 911-975.

Table 21: in general formula I, $R_2=Cl$,



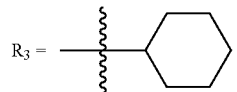
$R_4=H$, $R_5=R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 976-1040.

Table 22: in general formula I, $R_2=Cl$,



$R_4=H$, $R_5=R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 1041-1105.

Table 23: in general formula I, $R_2=Cl$,



$R_4=H$, $R_5=R_6=CH_3$, the substituent R_1 is consistent with those in Table 6 and corresponding to 1-65 in table 6 in turn, the representative compounds are coded as 1106-1170.

In general formula I, $R_1=CH_3$, $R_2=Cl$, $R_3=R_5=R_6=H$, the substituent R_4 refers to Table 24, the representative compounds are coded as 117-1310.

TABLE 24

No.	R_4
1171	S-i-C ₃ H ₇
1172	OH
1173	—C(=O)H
1174	CBr ₃
1175	CH ₃
1176	C ₂ H ₅
1177	n-C ₃ H ₇
1178	i-C ₃ H ₇
1179	n-C ₄ H ₉
1180	i-C ₄ H ₉
1181	t-C ₄ H ₉
1182	Cl ₃
1183	CH ₂ Br
1184	CHF ₂
1185	CHBr ₂
1186	CF ₃
1187	CH ₂ Cl

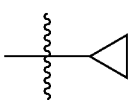
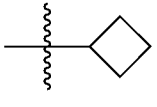
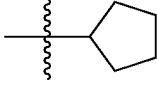
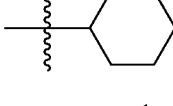




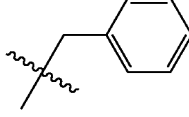
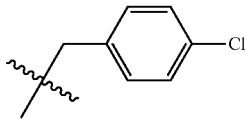
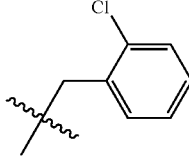
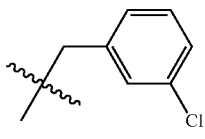
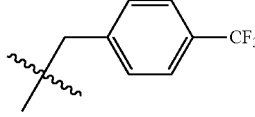
19

TABLE 24-continued

No.	R ₄
1188	CHCl ₂
1189	CCl ₃
1190	CH ₂ F
1191	OCH ₃
1192	OC ₂ H ₅
1193	OCH(CH ₃) ₂
1194	OC(CH ₃) ₃
1195	OCF ₃
1196	OCH ₂ CF ₃
1197	OCH ₂ F
1198	OCHF ₂
1199	SCH ₃
1200	SC ₂ H ₅
1201	SC ₂ H ₄ CH=CH ₂
1202	CH=CH ₂
1203	CH ₂ CH=CH ₂
1204	CH ₂ CH=CCl ₂
1205	C=CH
1206	CH ₂ C=CH
1207	CH ₂ C=C—I
1208	CH ₂ OCH ₃
1209	CH ₂ OCH ₂ CH ₃
1210	CH ₂ CH ₂ OCH ₃
1211	CH ₂ CH ₂ OCH ₂ CH ₃
1212	CH ₂ OCH ₂ Cl
1213	CH ₂ OCH ₂ CH ₂ Cl
1214	CH ₂ CH ₂ OCH ₂ Cl
1215	CH ₂ SCH ₃
1216	CH ₂ SCH ₂ CH ₃
1217	CH ₂ CH ₂ SCH ₃
1218	CH ₂ CH ₂ SCH ₂ CH ₃
1219	CH ₂ SCH ₂ Cl
1220	CH ₂ SCH ₂ CH ₂ Cl
1221	CH ₂ CH ₂ SCH ₂ Cl
1222	SOCH ₃
1223	SOC ₂ H ₅
1224	SOCF ₃
1225	SOCH ₂ CF ₃
1226	SO ₂ CH ₃
1227	SO ₂ C ₂ H ₅
1228	SO ₂ CF ₃
1229	SO ₂ CH ₂ CF ₃
1230	SO ₂ NHCOCH ₃
1231	SO ₂ NHCH ₃
1232	SO ₂ N(CH ₃) ₃
1233	CONHSO ₂ CH ₃
1234	COCH ₃
1235	COC ₂ H ₅
1236	CO-n-C ₃ H ₇
1237	CO-i-C ₃ H ₇
1238	CO-n-C ₄ H ₉
1239	CO-i-C ₄ H ₉
1240	CO-t-C ₄ H ₉
1241	COCF ₃
1242	COCH ₂ Cl
1243	COOCH ₃
1244	COOC ₂ H ₅
1245	COO-n-C ₃ H ₇
1246	COO-t-C ₄ H ₉
1247	COOCF ₃
1248	COOCH ₂ CH ₂ Cl
1249	COOCH ₂ CF ₃
1250	CH ₂ COOCH ₃
1251	CH ₂ COOC ₂ H ₅
1252	CH ₂ COCH ₃
1253	CH ₃ COC ₂ H ₅
1254	CONHCH ₃
1255	CONHC ₂ H ₅
1256	CONH-t-C ₄ H ₉
1257	CON(CH ₃) ₂
1258	CON(C ₂ H ₅) ₂
1259	COOCH ₂ CH=CH ₂
1260	COOCH ₂ C=CH
1261	COOCH ₂ OCH ₃
1262	COOCH ₂ CH ₂ OCH ₃
1263	SNHCH ₃
1264	SNHC ₂ H ₅
1265	SN(CH ₃) ₂

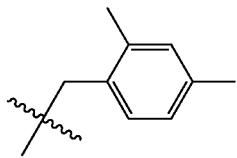
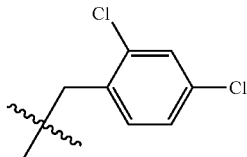
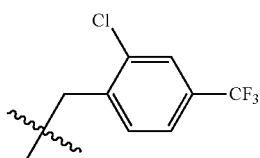
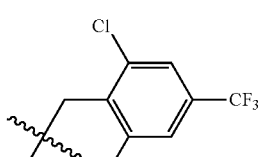
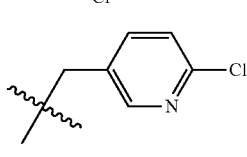
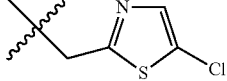
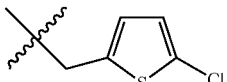
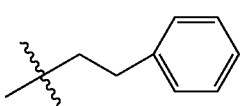
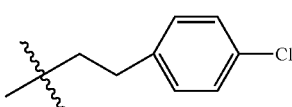
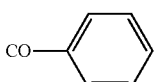
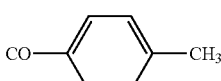
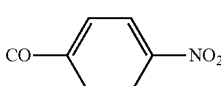
20

TABLE 24-continued

No.	R ₄
1266	SN(C ₂ H ₅) ₂
1267	
1268	
1269	
1270	
1271	CO—O— 
1272	CO—O— 
1273	CO—O— 
1274	CO—O— 
1275	
1276	
1277	
1278	
1279	

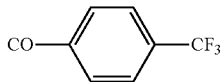
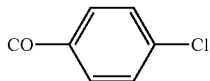
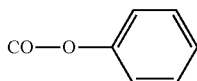
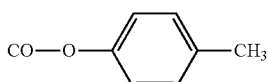
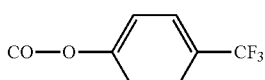
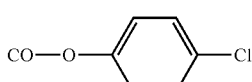
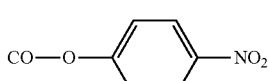
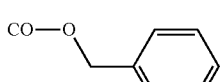
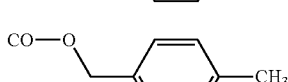
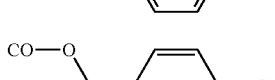
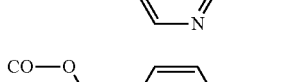
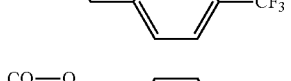
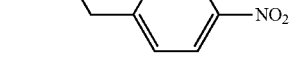
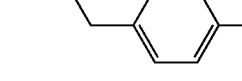
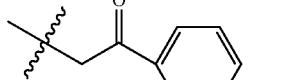
21

TABLE 24-continued

No.	R ₄
1280	
1281	
1282	
1283	
1284	
1285	
1286	
1287	
1288	
1289	
1290	
1291	

22

TABLE 24-continued

No.	R ₄
5	1292 
10	1293 
15	1294 
20	1295 
25	1296 
30	1297 
35	1298 
40	1299 
45	1300 
50	1301 
55	1302 
60	1303 
65	1304 
	1305 
	1306 

23

TABLE 24-continued

No.	R ₄
1307	
1308	
1309	
1310	

Table 25: in general formula I, R₁=C₂H₅, R₂=Cl, R₃=R₅=R₆=H, the substituent R₄ is consistent with those in Table 24 and corresponding to 1171-1310 in table 6 in turn, the representative compounds are coded as 1311-1450.

The salts of some compounds of the present invention are listed in Table 26, but without being restricted thereby.

TABLE 26

No.	Structure
1451	
1452	
1453	
1454	

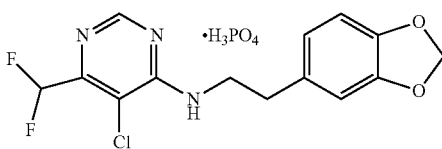
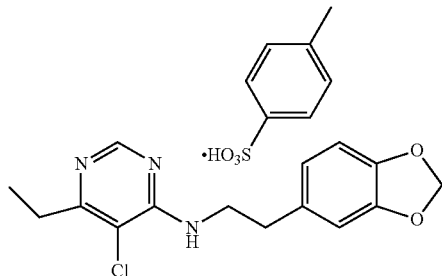
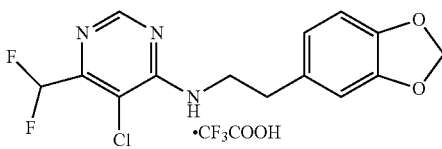
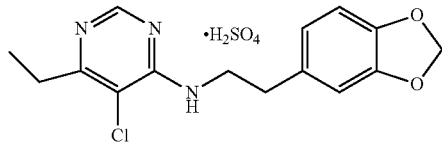
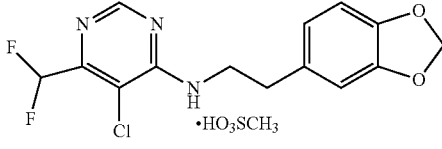
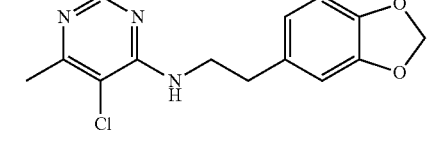
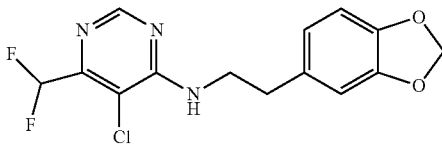
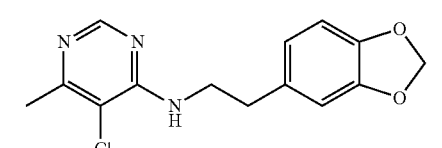
24

TABLE 26-continued

No.	Structure
1455	
1456	
1457	
1458	
1459	
1460	
1461	
1462	
1463	

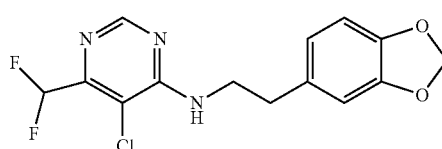
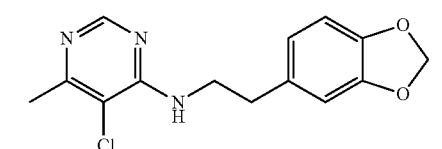
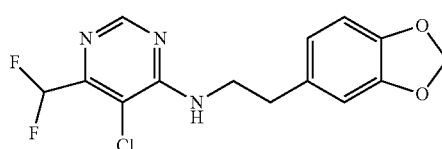
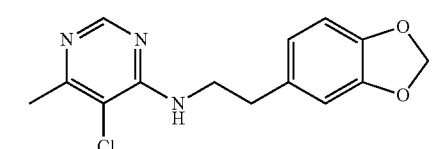
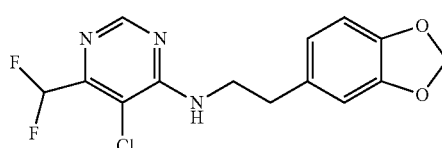
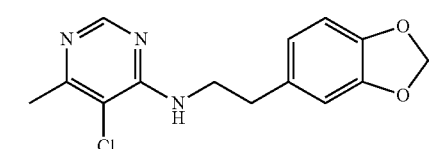
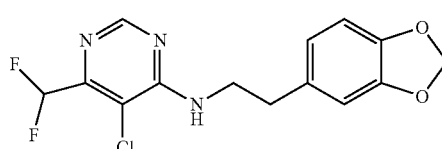
25

TABLE 26-continued

No.	Structure
1464	
1465	
1466	
1467	
1468	
1469	 malic acid
1470	 malic acid
1471	 oxalic acid

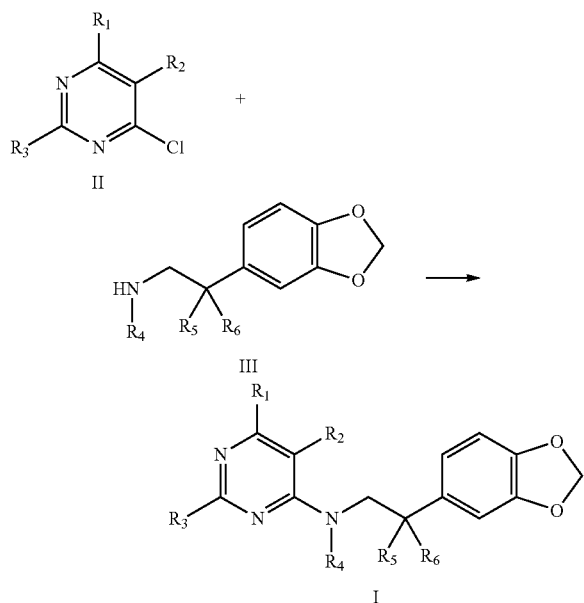
26

TABLE 26-continued

No.	Structure
5	1472  oxalic acid
10	1473  benzoic acid
15	1474  benzoic acid
20	1475  maleic acid
25	1476  maleic acid
30	1477  citric acid
35	1478  citric acid
40	
45	
50	
55	
60	

65 The compounds having general formula (I) of the invention can be prepared according to the following schemes, the definitions of substituents are as defined above:

27



The compounds of general formula I can be obtained by reaction of intermediates II and III under basic condition.

The proper base mentioned may be selected from potassium hydroxide, sodium hydroxide, sodium carbonate, potassium carbonate, sodium bicarbonate, triethylamine, pyridine, sodium methoxide, sodium ethoxide, sodium hydride, potassium tert-butoxide or sodium tert-butoxide and so on.

The reaction was carried out in proper solvent and the proper solvent mentioned may be selected from tetrahydrofuran, 1,4-dioxane, acetonitrile, toluene, xylene, benzene, DMF, N-methyl pyrrolidone, DMSO, acetone or butanone and so on.

The proper temperature mentioned is from room temperature to boiling point of the solvent, normal temperature is from 20 to 100° C.

The reaction time is in the range of 30 minutes to 20 hours, generally being 1-10 hours.

Intermediates II can be prepared according to the method described in JP2000007662, U.S. Pat. No. 4,977,264, U.S. Pat. No. 6,090,815, US20040092402, JP09124613, U.S. Pat. No. 5,468,751, U.S. Pat. No. 4,985,426, U.S. Pat. No. 4,845,097, Recueil des Travaux Chimiques des Pays-Bas (1978), 97(11), Pages 288-92.

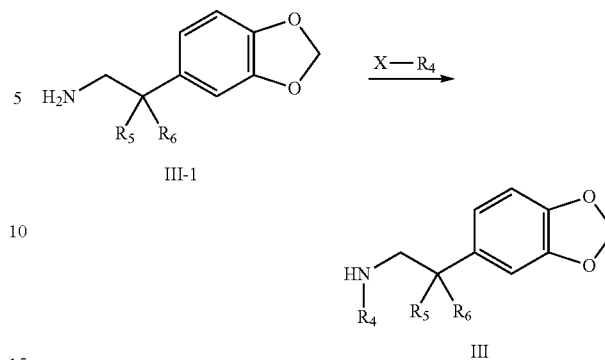
The preparation of intermediates III refers to the three methods shown as follows according to the different definition of R_5 and R_6 .

Intermediates III, when $R_4=R_5=R_6=H$, are commercially available, or are prepared according to the method described in CN1312250A;

Intermediates III, when $R_4=H$, R_5 and $R_6(\neq H)$ defined as above, are prepared according to the method described in Farmaco, Edizione Scientifica (1965), 20(6), 456-62; JP59204177, etc.;

Intermediates III, when $R_4\neq H$, are prepared from the intermediates ($R_4=H$) according to the method described in WO2004093800A;

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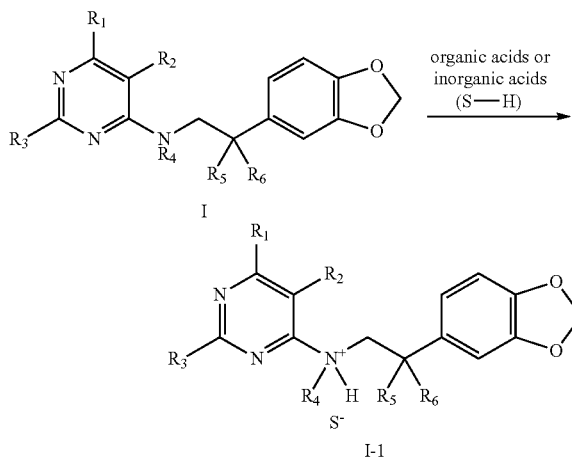


Wherein, X is halogen, methylsulfonyl or tosyl.

The preparation method of salts of the compounds having general formula I:

The preparation method of salts based on pyrimidinamine moiety:

The corresponding salts having general formula I-1 can be prepared by reaction of the compounds having general formula I with corresponding organic acids or inorganic acids, as shown in the following.



In addition, in general formula I, the salts can also be formed based on nitrogen atom of pyrimidine ring, the preparation method refers to DE19647317, JP2001504473, U.S. Pat. No. 5,925,644, WO9822446 and ZA9710187, etc.

The reaction forming salts of compounds having general formula I-1 with organic acids or inorganic acids can be carried out at room temperature to boiling point of the solvent, normal temperature is from 20 to 100° C. The reaction time is in the range of 30 minutes to 20 hours, generally being 1-10 hours. The proper solvent mentioned may be selected from water, methanol, ethanol, isopropanol, benzene, toluene, xylene, acetone, ethyl methyl ketone, methyl isobutyl ketone, chloroform, dichloromethane, methyl acetate, ethyl acetate, tetrahydrofuran, 1,4-dioxane, DMF, N-methyl pyrrolidone or DMSO and so on.

The acids, which can be used to form salts with compounds having general formula I-1, includes hydrochloric acid, sulphuric acid, phosphorous acid, formic acid, acetic acid, trifluoroacetic acid, oxalic acid, methanesulfonic acid, p-toluenesulfonic acid, benzoic acid, phthalic acid, maleic acid, sorbic acid, malic acid or citric acid, etc. The further

preferred acids are hydrochloric acid, sulphuric acid, phosphorous acid, trifluoroacetic acid, methanesulfonic acid or p-toluenesulfonic acid.

Although the compounds having general formula I and some compounds reported in prior art are both belong to substituted pyrimidines, there are still some obvious differences in structure between them. It is due to these differences in structure that lead to compounds of present invention with better fungicidal and/or insecticidal/acaricidal and antitumor activities.

The compounds of general formula I show excellent activity against both many plant pathogens/diseases in agricultural and other fields, and insects/mites, at the same time, they also have some antitumor activity. Therefore the technical scheme of the present invention also includes the uses of the compounds having general formula I or their salts to prepare fungicides, insecticides/acaricides in agricultural and other fields and to prepare antitumor agents in pharmaceutical fields.

The present invention is explained by the following examples of plant disease, but without being restricted thereby.

The compounds of general formula I can be used to control these plant diseases: Oomycete diseases, such as downy mildew (cucumber downy mildew, rape downy mildew, soybean downy mildew, downy mildew of beet, downy mildew of sugarcane, tobacco downy mildew, pea downy mildew, vegetable sponge downy mildew, chinese wax gourd downy mildew, muskmelon downy mildew, chinese cabbage downy mildew, spinach downy mildew, radish downy mildew, grape downy mildew, onion downy mildew), white rust (rape white rust, chinese cabbage white rust), damping-off disease (rape damping-off, tobacco damping-off, tomato damping-off, pepper damping-off, eggplant damping-off, cucumber damping-off, cotton damping-off), pythium rot (pepper soft stale disease, vegetable sponge cottony leak, chinese wax gourd cottony leak), blight (broad bean phytophthora blight, cucumber phytophthora blight, pumpkin phytophthora rot, chinese wax gourd phytophthora blight, watermelon phytophthora blight, muskmelon phytophthora blight, pepper phytophthora blight, chinese chives phytophthora blight, carlic phytophthora blight, cotton phytophthora blight), late blight (potato late blight, tomato late blight) and so on; diseases caused by Deuteromycotina, such as wilt disease (sweet potato fusarium wilt, cotton fusarium wilt disease, sesame wilt disease, fusarium wilt disease of costarbean, tomato fusarium wilt, bean fusarium wilt, cucumber fusarium wilt, vegetable sponge fusarium wilt, pumpkin fusarium wilt, chinese wax gourd fusarium wilt, watermelon fusarium wilt, muskmelon fusarium wilt, pepper fusarium wilt, broad bean fusarium wilt, fusarium wilt disease of rape, fusarium wilt disease of soybean), root rot (pepper root rot, eggplant root rot, bean fusarium root-rot, cucumber fusarium root rot, balsam pear fusarium root rot, cotton black root rot, broad bean thielaviopsis root rot), drooping disease (cotton soreshin, sesame soreshin, pepper rhizoctonia rot, cucumber rhizoctonia rot, chinese cabbage rhizoctonia rot), anthracnose (sorghum anthracnose, cotton anthracnose, kenaf anthracnose, jute anthracnose, flax anthracnose, tobacco anthracnose, mulberry anthracnose, pepper anthracnose, eggplant anthracnose, bean anthracnose, cucumber anthracnose, balsam pear anthracnose, summer squash anthracnose, chinese wax gourd anthracnose, watermelon anthracnose, muskmelon anthracnose, litchi anthracnose), verticillium wilt (cotton verticillium wilt, verticillium wilt of sunflower, tomato verticillium wilt, pepper verticillium wilt, eggplant verticillium wilt), scab

(summer squash scab, chinese wax gourd scab, muskmelon scab), gray mold (cotton boll gray mold, kenaf gray mold, tomato gray mold, pepper gray mold, bean gray mold, celery gray mold, spinach gray mold, kiwi fruit gray mold rot), brown spot (cotton brown spot, jute brown spot, beet cercospora leaf spot, peanut brown spot, pepper brown leaf spot, chinese wax gourd cercospora leaf spot, soybean brown spot, sunflower brown spot, pea ascochyta blight, broad bean brown spot), black spot (flax black spot, rape alternaria leaf spot, sesame black spot, sunflower alternaria leaf spot, costarbean alternaria leaf spot, tomato nail head spot, pepper black fruit spot, eggplant black spot, bean leaf spot, cucumber alternaria blight, celery alternaria black leaf spot, carrot alternaria black rot, carrot leaf blight, apple alternaria rot, peanut brown spot), spot blight (tomato septoria leaf spot, pepper septoria leaf spot, celery late blight), early blight (tomato early blight, pepper early blight, eggplant early blight, potato early blight, celery early blight), ring spot (soybean zonate spot, sesame ring spot, bean zonate spot), leaf blight (sesame leaf blight, sunflower leaf blight, watermelon alternaria blight, muskmelon alternaria spot), basal stem rot (tomato basal stem rot, bean rhizoctonia rot), and others (corn northern leaf spot, kenaf damping-off, rice blast, millet black sheath, sugarcane eye spot, cotton aspergillus boll rot, peanut crown rot, soybean stem blight, soybean black spot, muskmelon alternaria leaf blight, peanut web blotch, tea red leaf spot, pepper phyllosticta blight, chinese wax gourd phyllosticta leaf spot, celery black rot, spinach heart rot, kenaf leaf mold, kenaf brown leaf spot, Jute stem blight, soybean cercospora spot, sesame leaf spot, costarbean gray leaf spot, tea brown leaf spot, eggplant cercospora leaf spot, bean cercospora leaf spot, balsam pear cercospora leaf spot, watermelon cercospora leaf spot, jute dry rot, sunflower root and stem rot, bean charcoal rot, soybean target spot, eggplant cercospora leaf spot, cucumber cercospora target leaf spot, tomato leaf mold, eggplant fulvia leaf mold, broad bean chocolate spot) and so on; diseases caused by Basidiomycete, such as rust (wheat stripe rust, wheat stem rust, wheat leaf rust peanut rust, sunflower rust, sugarcane rust, chinese chives rust, onion rust, millet rust, soybean rust), smut (corn head smut, corn smut, sorghum silk smut, sorghum loose kernel smut, sorghum hard smut, sorghum smut, millet kernel smut, sugarcane smut, bean rust), and others (for example, wheat sheath blight and rice sheath blight) and so on; diseases caused by Ascomycete, such as powdery mildew (wheat powdery mildew, rape powdery mildew, powdery mildew of sesame, powdery mildew of sunflower, beet powdery mildew, eggplant powdery mildew, pea powdery mildew, vegetable sponge powderery mildew, pumpkin powdery mildew, summer squash powdery mildew, chinese wax gourd, muskmelon powdery mildew, grape powdery mildew, broad bean powdery mildew), sclerotinia rot (flax sclerotiniose, rape sclerotiniose, soybean sclerotiniose, peanut sclerotiniose, tobacco sclerotinia rot, pepper sclerotinia rot, eggplant sclerotinia rot, bean sclerotinia rot, pea sclerotinia rot, cucumber sclerotinia rot, balsam pear sclerotinia rot, chinese wax gourd sclerotinia rot, watermelon sclerotinia disease, celery stem rot), scab (apple scab, pear scab) and so on. Especially, the compounds of the present invention exhibit very good control against corn southern rust, rice blast, cucumber gray mold and cucumber downy mildew at very low doses.

The compounds of general formula I can be used to control these insects: Coleoptera, such as *Acanthoscelides* spp., *Acanthoscelides obtectus*, *Agilus planipennis*, *Agriotes* spp., *Anoplophora glabripennis*, *Anthonomus* spp., *Anthonomus grandis*, *Aphidius* spp., *Apion* spp., *Apogonia*

spp., *Atacnius spretulus*, *Atomaria linearis*, pygmy mangold beetle, *Aulacophore* spp., *Bothynoderes punctiventris*, *Bruchus* spp., *Bruchus pisorum*, *Cacoesia*, *Cacoesia* spp., *Caliosobruchus maculatus*, *Carpophilus hemipteras*, *Cassida vittata*, *Cerosterna* spp., *Cerotonia*, *Cerotoma* spp., *Cerotoma trifurcata*, *Ceutorhynchus* spp., *Ceutorhynchus assimilis*, cabbage seedpod weevil, *Ceutorhynchus napi*, cabbage curculio, *Chaetocnema* spp., *Colaspis* spp., *Conoderus scalaris*, *Conoderus stigmatus*, *Conotrachelus nenuphar*, *Cotinus nitidis*, Green June beetle, *Crioceris asparagi*, *Cryptolestes ferrugineus*, rusty grainbeetle, *Cryptolestes pusillus*, *Cryptolestes turcicus* Turkish grain beetle, *Ctenicera* spp., *Curculio* spp., *Cyclocephala* spp., *Cylindropterus adpersus*, sunflower stem weevil, *Deporaus marginatus*, mango leaf-cutting weevil, *Dermestes lardarius*, *Dermestes maculatus*, *Diabrotica* spp., *Epilachna varivestis*, *raustinus cubae*, *Hylobius pales*, pales weevil, *Hypera* spp., *Hypera postica*, *Hyperdoes* spp., *Hyperodes* weevil, *Hypothenemus hampei*, *Ips* spp., engravers, *Lasioderma serricorne*, *Leptinotarsa decemlineata*, *Liogenys fuscus*, *Liogenys suturalis*, *Lissorhoptrus oryzophilus*, *Lyctus* spp., powder post beetles, *Maecolaspis jolivetii*, *Megascelis* spp., *Melanotus communis*, *Meligethes* spp., *Meligethes aeneus*, blossom beetle, *Melolontha melolontha*, *Oberea brevis*, *Oberea linearis*, *Oryctes rhinoceros*, date palm beetle, *Oryzaephilus mercator*, merchant grain beetle, *Oryzaephilus surinamensis*, sawtoothed grain beetle, *Otiorynchus* spp., *Oulema melanopus*, cereal leafbeetle, *Oulema oryzae*, *Pantomorus* spp., *Phylliophaga* spp., *Phylliophaga cuyabana*, *Phyllotreta* spp., *Phynchites* spp., *Popillia japonica*, *Prostephanus truncatus*, larger grain borer, *Rhizopertha dominica*, lesser grain borer, *Rhizotrogus* spp., *European chafer*, *Rhynehophorus* spp., *Scolytus* spp., *Shenophorus* spp., *Sitona lincatus*, pea leaf weevil, *Sitophilus* spp., *Sitophilus granaries*, granary weevil, *Sitophilus oryzae*, rice weevil, *Stegobium paniceum*, drugstore beetle, *Tribolium* spp., *Tribolium castaneum*, (red flour beetle, *Tribolium confusum*, confused flour beetle, *Trogoderma variabile*, warehouse beetle and *Zabrus tenebrioides*.

Dermaptera.

Dictyoptera, such as *Blattella germanica*, German cockroach, *Blatta orientalis*, *Parcoblatta pennsylvanica*, *Periplaneta americana*, American cockroach, *Periplaneta australasiae*, Australian cockroach, *Periplaneta brunnea*, brown cockroach, *Periplaneta fuliginosa*, smokybrown cockroach, *Pyncoselus suninimensis*, Surinam cockroach and *Supella longipalpa*, brownbanded cockroach)).

Diptera, such as *Aedes* spp., *Agromyza frontella*, alfalfa blotch leafminer, *Agromyza* spp., *Anastrepha* spp., *Anastrepha suspensa*, Caribbean fruit fly, *Anopheles* spp., *Bactrocera* spp., *Bactrocera cucurbitae*, *Bactrocera dorsalis*, *Ceratitidis* spp., *Ceratitidis capitata*, *Chrysops* spp., *Cochliomyia* spp., *Contarinia* spp., *Culex* spp., *Dasineura* spp., *Dasineura brassicae*, *Delia* spp.), *Delia platura*, seedcorn maggot), *Drosophila* spp., *Fannia* spp., *Fannia canicularis*, little house fly, *Fannia scalaris*, *Gasterophilus intestinalis*, *Gracillia perseae*, *Haematobia irritans*, *Hylemyia* spp., root maggot, *Hypoderma lineatum*, common cattle grab, *Liriomyza* spp., *Liriomyza brassica*, serpentine leafminer, *Melophagus ovinus*, *Musca* spp., muscid fly, *Musca autumnalis*, face fly, *Vusca domestica*, house fly, *Oestrus ovis*, sheep bot fly, *Oscinella frit*, *Pegomyia betae*, (beet leafminer, *Phorbia* spp., *Psila rosae*, carrotrust fly, *Rhagoletis cerasi*, cherry fruit fly, *Rhagoletis pomonella*, apple maggot, *Sitodiplosis mosellana*, orange wheat blossom midge, *Stomoxys calcitrans*, stable fly, *Tahanus* spp. and *Tipula* spp.

Hemiptera, such as *Acrosternum hilare*, green stink bug, *Blissus leucopterus*, chinch bug, *Calocoris norvegicus*, potato mirid, *Cimex hemipterus*, tropical bed bug, *Cimex lectularius*, bed bug, *Dagbertus fasciatus*, *Dichelops furcatus*, *Dysdercus suturellus*, cotton stainer, *Edessa meditabunda*, *Eurygaster maura*, cereal bug, *Euschistus heros*, *Euschistus servus*, brown stink bug, *Helopeltis antonii*, *Helopeltis theivora*, tea blight plantbug, *Lagynotomus* spp., *Leptocoris oratorius*, *Leptocoris varicornis*, *Lygus* spp., plant bug, *Lygus hesperus*, western tarnished plant bug, *Macronellicoccus hirsutus*, *Neurocolpus longirostris*, *Nezara viridula*, southern green stink bug, *Phyllocoris* spp., *Phytocoris californicus*, *Phytocoris relativus*, *Piezodorus guildingi*, *Poecilolapsus lineatus*, fourlined plant bug, *Psallus vaccinicola*, *Pseudacysta perseae*, *Scaptocoris castanea* and *Triatoma* spp., bloodsuckingengonose bug, kissing bug)).

Homoptera, such as *Acrythosiphonpisum*, pea aphid, *Adelges* spp., adelgids, *Aleurodes proletella*, *Aleurodicus disperses*, *Aleurothrix flecosus*, woolly whitefly, *Aluacaspis* spp., *Amrasca bigutella bigutella*, *Aphrophora* spp., leafhopper, *Aonidiella aurantii*, California red scale, *Aphis* spp., *Aphis gossypii*, cotton aphid, *Aphis pomi*, apple aphid, *Aulacorthum solan*, foxglove aphid, *Bemisia* spp., *Bemisia argentifolii*, *Bemisia tabaci*, sweetpotato whitefly, *Brachycolus noxius*, Russian aphid, *Brachycorynelia asparagi*, asparagus aphid, *Brevinnia rehi*, *Brevicoryne brassicae*, *Ceroplastes* spp., *Ceroplastes rubens*, red wax scale, *Chionaspis* spp., *Chrysomphalus* spp., *Coccus* spp., *Dysaphis plantaginea*, rosy apple aphid, *Empoasca* spp., *Eriosoma lanigerum*, woolly apple aphid, *Icerya purchasi*, cottony cushion scale, *Idioscopus nitidulus*, mango leafhopper, *Leodolaphax striatellus*, smaller brown planthopper, *Lepidolaphes* spp., *Macrosiphum* spp., *Macrosiphum euphorbiae*, potato aphid, *Macrosiphum granarium*, (English grain aphid, *Macrosiphum rosae*, rose aphid, *Macrosteles quadrilineatus*, aster leafhopper, *Mahanarva frimbiolata*, *Metopolophium dirhodum*, rose grain aphid, *Midis longicornis*, *Myzus persicae*, green peach aphid, *Nephotettix* spp., *Nephotettix cinctipes*, green leafhopper, *Nilaparvata lugens*, brown planthopper, *Parlatoria pergandii*, chaff scale, *Parlatoria ziziphi*, ebony scale, *Peregrinus maidis*, corn delphacid, *Philaenus* spp., *Phylloxera vitifoliae*, grape phylloxera, *Physokermes piceae*, spruce bud scale, *Planococcus* spp., *Pseudococcus* spp., *Pseudococcus brevipes*, pine apple mealybug, *Quadrastipidiotus pemiciosus*, San Jose scale, *Rhapalosiphum* spp., *Rhapalosiphum maida*, corn leaf aphid, *Rhapalosiphum padi*, oatbird-cherry aphid, *Saissetia* spp., *Saissetia oleae*, *Schizaphis graminum*, greenbug, *Sitobion avenae*, *Sogatella furcifera*, white-backed planthopper, *Therioaphis* spp., *Toumeyella* spp., *Toxoptera* spp., *Trialeurodes* spp., *Trialeurodes vaporariorum*, greenhouse whitefly, *Trialeurodes abutiloneus*, bandedwing whitefly, *Unaspis* spp., *Unaspis yanonensis*, arrowhead scale and *Zulia entre-rana*.

Hymenoptera, such as *Acromyrmex* spp., *Athalia rosae*, *Atta* spp., leafcutting ants, *Camponotus* spp., carpenter ant, *Diprion* spp., sawfly, *Formica* spp., *Iridomyrmex humilis*, Argentineant, *Monomorium* spp., *Monomorium minimum*, little black ant, *Monomorium pharaonis*, haraoh ant, *Neodiprion* spp., *Pogonomyrmex* spp., *Polistes* spp., paper wasp, *Solenopsis* spp., *Tapinoma sessile*, odorous house ant, *Tetranomium* spp., pavement ant, *Vespa* spp., yellow jacket and *Xylocopa* spp., carpenter bee.

Isoptera, such as *Coptotermes* spp., *Coptotermes curvignathus*, *Coptotermes frenchii*, *Coptotermes formosanus*, Formosan subterranean termite, *Cornitermes* spp., nasute

termite, *Cryptotermes* spp., *Heterotermes* spp., desert subterranean termite, *Heterotermes aureus*, *Kalotermes* spp., *Incisitermes* spp., *Macrotermes* spp., fungus growing termite, *Macrotermes* spp., *Microcerotermes* spp., harvester termite, *Microtermes obesi*, *Procornitermes* spp., *Reticulitermes* spp., *Reticulitermes banyulensis*, *Reticulitermes grassei*, *Reticulitermes flavipes*, *Reticulitermes hageni*, *Reticulitermes hesperus*, *Reticulitermes santonensis*, *Reticulitermes speratus*, *Reticulitermes tibialis*, *Reticulitermes virginicus*, *Schedorhinotermes* spp. and *Zootermopsis* spp.

Lepidoptera, such as *Achoea janata*, *Adoxophyes* spp., *Adoxophyes orana*, *Agrotis* spp., *Agrotis ipsilon*, *Alabama argillacea*, cotton leafworm, *Amorbia cuneana*, *Amelosis transitella*, navel orangeworm, *Anacamptodes defectaria*, *Anarsia lineatella*, peach twig borer, *Anomis sabulijera*, jute looper, *Anticarsia gemmatilis*, velvetbean caterpillar, *Archips argyrospila* (fruit tree leafroller, *Archips rosana*, rose leaf roller, *Ar gyrotaenia* spp., tortricid moths, *Argyrotaenia citrana*, orange tortrix, *Autographa gamma*, *Bonagota cranaodes*, *Borbo cinnara*, rice leaf folder, *Bucculatrix thurberiella*, cotton leafperforator, *Caloptilia* spp., *Capua reticulana*, *Carpocapsa niponensis*, peach fruit moth, *Chilo* spp., *Chlumetia transversa*, mango shoot borer, *Choristoneura rosaceana*, oblique banded leaf roller, *Chrysodeixis* spp., *Cnaphalocerus medinalis*, grass leafroller, *Colias* spp., *Conpomorpha cramerella*, *Cossus cossus*, *Crambus* spp., Sod webworms, *Cydia funebrana*, plum fruit moth, *Cydia molesta*, oriental fruit moth, *Cydia nigricana*, pea moth, *Cydia pomonella*, codling moth, *Darna diducta*, *Diaphania* spp., stem borer, *Diatraea* spp., stalk borer, *Diatraea saccharalis*, sugarcane borer, *Diatraea graminosella*, southwestern corn borer, *Earias* spp., *Earias insulata*, Egyptian bollworm, *Earias vitella*, rough northern bollworm, *Ecdytographa aurantianum*, *Elasmopalpus lignosellus*, lesser cornstalk borer, *Eprrophysias postruttana*, light brown, apple moth, *Ephestia* spp., *Ephestia cautella*, almond moth, *Ephestia elutella*, tobacco moth, *Ephestia kuehniella*, Mediterranean flour moth, *Epimeces* spp., *Epinotia aporema*, *Erionota thrax*, banana skipper, *Eupoecilia ambiguella*, grape berry moth, *Euxoa auxiliaris*, army cutworm, *Feltia* spp., *Gortyna* spp., *Grapholita molesta*, oriental fruit moth, *Hedylepta indicata*, bean leaf webber, *Helicoverpa* spp., *Helicoverpa armigera*, cotton bollworm, *Helicoverpa zea*, *Heliothis* spp., *Heliothis virescens*, tobacco budworm, *Hellula undalis*, cabbage webworm, *Indarbela* spp., *Keiferia lycopersicella*, tomato pinworm, *Leucinodes orbonalis*, eggplant fruit borer, *Leucoptera malifoliella*, *Lithocolletis* spp., *Lobesia botrana*, grape fruit moth, *Loxagrotis* spp., *Loxagrotis albicosta*, western bean cutworm, *Lymantria dispar*, gypsy moth, *Lyonietaclerkella*, apple leafminer, *Mahasena corbetti*, oil palm bagworm, *Malacosoma* spp., tent caterpillars, *Mamestra brassicae*, cabbage armyworm, *Maruca testulalis*, *Metisa plana*, *Mythimna unipuncta*, true armyworm, *Neoleucinodes elegantalis*, small tomato borer, *Nymphula depunctalis*, rice caseworm, *Operophtera brumata*, winter moth, *Ostrinia nubilalis*, European corn borer, *Oxydia vesulia*, *Pandemis cerasana*, common currant tortrix, *Pandemis heparana*, brown apple tortrix, *Papilio demodocus*, *Pectinophora gossypiella*, pink bollworm, *Peridroma* spp., *Peridroma saucia*, variegated cutworm, *Perileucoptera coffeella*, white coffee leafminer, *Phthorimaea operculella*, potato tuber moth, *Phylloenitis citrella*, *Phyllonorycter* spp., *Pieris rapae*, imported cabbageworm, *Plathypena scabra*, *Plodia interpunctella*, Indian meal moth, *Plutelia xylostella*, diamondback moth, *Polychrosis viteana*, grape berry moth, *Prays endocarpis*, *Prays oleae*, olive moth, *Pseudaletia* spp., *Pseudaletia uni-*

punctata, *Pseudoplusia includens*, soybean looper, *Rachipylusia nu*, *Scirpophaga incertulas*, *Sesamia* spp., *Sesamia inferens*, pink rice stemborer, *Sesamia nonagrioides*, *Setora nitens*, *Sitotroga cerealella*, Angoumois grain moth, *Sparganothis pilleriana*, *Spodoptera* spp., *Spodoptera exigua*, beet armyworm, *Spodoptera fugiperda*, fall armyworm, *Spodoptera oridania*, southern armyworm, *Synanthedon* spp., *Thecla basilides*, *Thermisia gemmatilis*, *Tineola bisselliella*, webbing clothes moth, *Trichoplusia ni*, cabbage looper, *Tuts absoluta*, *Yponomeuta* spp., *Zeuzeracoffeae*, red branch borer and *Zeuzera pyrina*, leopard moth.

Mallophaga, chewing lice, such as *Bovicola ovis*, sheep biting louse, *Menacanthus stramineus*, chicken body louse and *Menopon gallinae*, common hen house,

Orthoptera, such as *Anabrus simplex*, Mormon cricket, *Gryllotalpidae*, mole cricket, *Locusta migratoria*, *Melanoplus* spp., *Microcentrum retinerve*, angular winged katydid, *Pterophylla* spp., *histocerca gregaria*, *Scudderella furcata*, fork tailed bush katydid and *Valanga nigricornis*.

sucking louse, such as *Haematopinus* spp., *Linognathus ovillus*, sheep louse, *Pediculus humanus capitis*, *Pediculus humanus humanus* and *Pthirus pubis*, crab louse.

Siphonaptera, such as *Ctenocephalides canis*, dog flea, *Ctenocephalides felis*, cat flea and *Pulex irritans* (human flea).

Thysanoptera, such as *Frankliniella fusca*, tobacco thrip, *Frankliniella occidentalis*, western flower thrips, *Frankliniella shultzei*, *Frankliniella williamsi*, corn thrip, *Heliothrips haemorrhoidalis* (greenhouse thrip), *Rhipiphorothrips cruentatus*, *Scirtothrips* spp., *Scirtothrips cirri*, citrus thrip, *Scirtothrips dorsalis*, yellow tea thrips, *Taeniothrips rhopalantennalis* and *Thrips* spp.).

Thysanura, bristletail, such as *Lepisma* spp., silverfish and *Thermobia* spp.

Acarina, mite and tick, such as *Acaropsis woodi*, tracheal mite of honeybee, *Acarus* spp., *Acarus siro*, grain mite, *Aceria mangiferae*, mango bud mite, *Aculops* spp., *Aculops lycopersici*, tomato russet mite, *Aculops pelekasi*, *Aculus pelekassi*, *Aculus schlechtendali*, apple rust mite, *Amblyomma americanum*, lone star tick, *Boophilus* spp., *Brevipalpus obovatus*, privet mite, *Brevipalpus phoenicis*, red and black flat mite, *Demodex* spp., mange mites, *Dermacentor* spp., *Dermacentor variabilis*, american dog tick, *Dermatophagoides pteronyssinus*, house dust mite, *Eotetranychus* spp., *Eotetranychus carpinis*, yellow spider mite, *Epitimeras* spp., *Eriophyes* spp., *Iodes* spp., *Metatetranychus* spp., *Notoedres cati*, *Oligonychus* spp., *Oligonychus coffee*, *Oligonychus ilicis*, southernred mite, *anonychus* spp., *Panonychus cirri*, citrus red mite, *Panonychus ulmi*, European red mite, *Phyllocoptura oleivora*, citrus rust mite, *Polyphagotarsonemus latus*, broad mite, *Rhipicephalus sanguineus*, brown dog tick, *Rhizoglyphus* spp., bulb mite, *Sarcoptes scabiei*, itch mite, *Tegolophus perseiflorae*, *Tetranychus* spp., *Tetranychus urticae*, twospotted spider mite and Varroa destructor.

Nematoda, such as *Aphelenchoides* spp., bud and leaf & pine wood nematode, *Belonolaimus* spp., sting nematodes, *Criconemelia* spp., ring nematodes, *Dirofilaria immitis*, dog heartworm, *Ditylenchus* spp., *Heterodera* spp., cyst nematode, *Heterodera zeae*, corn cyst nematode, *Hirschmanniella* spp., root nematodes, *Hoplolaimus* spp., lance nematodes, *Meloidogyne* spp., (*Meloidogyne incognita*, *Onchocerca volvulus*, hook-tail worm, *Pratylenchus* spp.,

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lesion nematode, *Radopholus* spp., burrowing nematode and *Rotylenchus reniformis*) (kidney-shaped nematode).

Symphyla, such as *Scutigerella immaculata*.

Especially, the compound of the present invention provides excellent control effects against peach aphid, diamondback moth, armyworm, and carmine spider mite at lower dosage.

Thanks to their positive characteristics, the compounds mentioned above can be advantageously used in protecting crops of farming and gardening, domestic and breeding animals, as well as environments frequented by human beings, from pathogens, insects and pest mites.

In order to obtain desired effect, the dosage of the compound to be applied can vary with various factors, for example, the used compound, the protected crop, the type of harmful organism, the degree of infestation, the climatic conditions, the application method and the adopted formulation.

The dosage of compounds in the range of 10 g to 5 kg per hectare can provide a sufficient control.

A further object of the present invention also includes fungicidal, insecticidal/acaricidal compositions containing the compounds having general formula I as active ingredient, and the weight percentage of the active ingredient in the composition is 1-99%. The fungicidal, insecticidal/acaricidal compositions also include the carrier being acceptable in agriculture, forestry, public health.

The compositions of the present invention can be used in the form of various formulations. Usually, the compounds having general formula I as active ingredient can be dissolved in or dispersed in carriers or made to a formulation so that they can be easily dispersed as a fungicide or insecticide. For example: these chemical formulations can be made into wettable powder, oil miscible flowable, aqueous suspension, aqueous emulsion, aqueous solution or emulsifiable concentrates. Therefore, in these compositions, at least a liquid or solid carrier is added, and usually suitable surfactant(s) can be added when needed.

Still also provided by the present invention are the application methods for controlling phytopathogenic fungi, insects, pest mites: which is to apply the compositions of the present invention to the phytopathogenic fungi, insects, pest mites as mentioned above or their growing loci. The suitable effective dosage of the compounds of the present invention is usually within a range of 10 g/ha to 1000 g/ha, preferably from 20 g/ha to 500 g/ha. For some applications, one or more other fungicides, insecticides/acaricides, herbicides, plant growth regulators or fertilizer can be added into the fungicidal, insecticidal/acaricidal compositions of the present invention to make additional merits and effects.

Besides application fields mentioned above, the compounds having general formula I of the present invention can also be used to prepare anticancer drugs to cure or relieve cancer in some tissue or organ. The cancer mentioned includes, but without being restricted thereby, colon cancer, liver cancer, lymphomas, lung cancer, esophageal cancer, breast cancer, central nervous system tumors (CNST), melanoma, ovarian cancer, cervical cancer, kidney cancer, leukaemia, prostate cancer, pancreatic cancer, bladder cancer, rectal cancer or stomach cancer. Particularly, the compounds having general formula I of the present invention have better growth inhibition effect on bladder cancer cells.

It should be noted that variations and changes are permitted within the claimed scopes in the present invention.

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DETAILED DESCRIPTION OF THE INVENTION

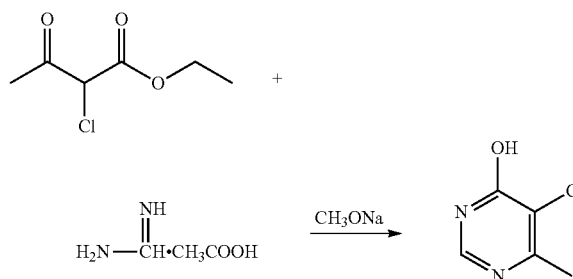
The present invention is illustrated by the following examples, but without being restricted thereby. (All raw materials are commercially available unless otherwise specified.)

PREPARATION EXAMPLES

Example 1

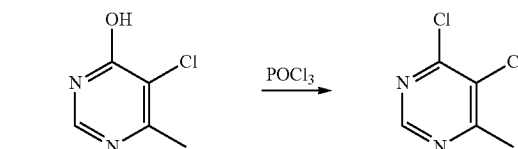
The Preparation of Intermediate 4,5-dichloro-6-methylpyrimidine

1) The Preparation of 4-hydroxyl-5-chloro-6-methylpyrimidine



8.80 g (0.16 mol) of CH_3ONa in methanol was added slowly to a solution of 11.30 g (0.11 mol) of formimidamide in 50 mL of methanol at room temperature under stirring, the mixture was stirred for another 2 h after addition at room temperature. Followed by addition of 11.17 g (0.068 mol) of ethyl 2-chloro-3-oxobutanoate, the mixture was continued stirring for another 5-7 h at room temperature. After the reaction was over by Thin-Layer Chromatography monitoring, the reaction mixture was concentrated under reduced pressure and pH was adjusted to 5-6 with HCl, and then filtered to afford orange-yellow solid, the water phase was extracted with ethyl acetate (3x50 ml), dried over anhydrous magnesium sulfate, filtered and then concentrated under reduced pressure. The residue was dissolved to 50 ml of ethyl acetate, stand overnight to obtain 6.48 g as orange-yellow solid with yield of 66%, m.p, 181~184° C.

2) The Preparation of Intermediate 4,5-dichloro-6-methylpyrimidine



50 ml of POCl_3 was added dropwise to a solution of 14.5 g (0.1 mol) of 4-hydroxyl-5-chloro-6-methylpyrimidine in 50 mL of toluene, the mixture was refluxed for 5-7 h after addition. After the reaction was over by Thin-Layer Chromatography monitoring, the reaction mixture was concentrated under reduced pressure to remove toluene and extra POCl_3 , and then poured into ice water. The water phase was extracted with ethyl acetate (3x50 ml), the organic phases were emerged, dried over anhydrous magnesium sulfate,

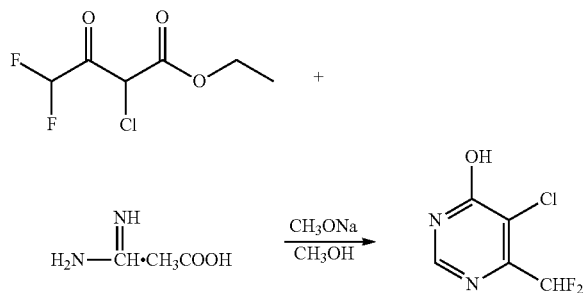
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filtered and then concentrated under reduced pressure. The residue was purified through silica column to give 14.43 g as yellow liquid with yield of 88.5%.

Example 2

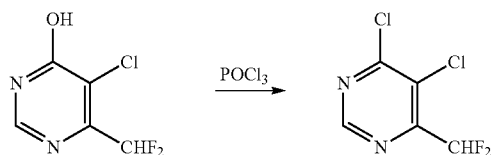
The Preparation of Intermediate
4,5-dichloro-6-(difluoromethyl)pyrimidine

1) The Preparation of 4-hydroxyl-5-chloro-6-(difluoromethyl)pyrimidine



A solution of 71.9 g (0.70 mol) of formimidamide in 150 mL of methanol was stirred at 5-10° C., 64.6 g (1.20 mol) of CH_3ONa in methanol prepared and cooled to room temperature ahead of time was added slowly to the above solution under stirring, followed by addition of 100 g (0.50 mol) of ethyl 2-chloro-4,4-difluoro-3-oxobutanoate in 100 mL of methanol, the mixture was continued stirring for another 3-4 h at room temperature. After the reaction was over by Thin-Layer Chromatography monitoring, the reaction mixture was concentrated under reduced pressure and pH was adjusted to 5-6 with HCl, and then filtered to afford 65 g as white solid with yield of 73%. m.p. 204-206° C.

2) The Preparation of 4,5-dichloro-6-(difluoromethyl)pyrimidine

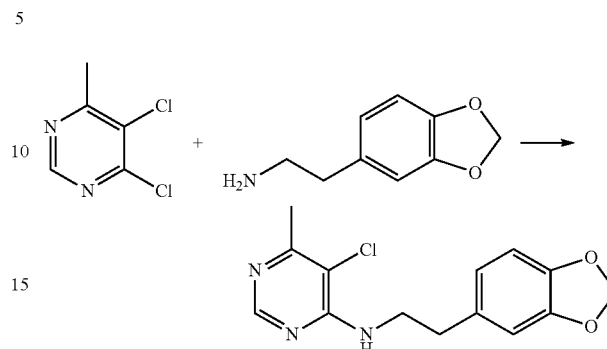


100 mL of POCl_3 was added dropwise to a solution of 65.0 g (0.36 mol) of 4-hydroxyl-5-chloro-6-(difluoromethyl)pyrimidine in 150 mL of toluene, the mixture was refluxed for 3-5 h after addition. After the reaction was over by Thin-Layer Chromatography monitoring, the reaction mixture was concentrated under reduced pressure to remove toluene and extra POCl_3 , and then poured into ice water. The water phase was extracted with ethyl acetate (3x50 mL), the organic phases were emerged, washed with saturated sodium bicarbonate, dried over anhydrous magnesium sulfate, filtered and then concentrated under reduced pressure. The residue was purified through silica column to give 64.5 g as yellow liquid, cooled to be solid in refrigerator with yield of 90%.

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Example 3

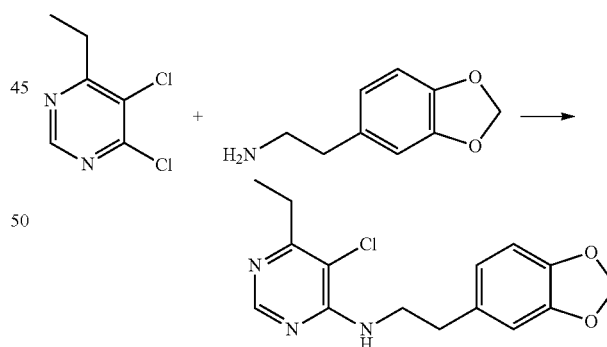
The Preparation of Compound 5



0.21 g (1.5 mmol) of potassium carbonate was added to a solution of 0.16 g (1.0 mmol) of 2-(benzo[d][1,3]dioxol-5-yl)ethanamine in 10 mL of DMF, followed by addition of 0.16 g (1.0 mmol) of 4,5-dichloro-6-methylpyrimidine under stirring, the mixture was heated to 80° C. for 2 h after addition. After the reaction was over by Thin-Layer Chromatography monitoring, the reaction mixture was poured into water and extracted with ethyl acetate, the organic phase was washed with water and saturated brine, dried, filtered and then concentrated under reduced pressure. The residue was purified through silica column (ethyl acetate/petroleum ether (boiling point range 60-90° C.)=1:4, as an eluent) to give 0.23 g of compound 5 as white solid, m.p. 109-110° C. $^1\text{H-NMR}$ (300 MHz, internal standard TMS, solvent CDCl_3) δ (ppm): 2.45 (3H, s), 2.85 (2H, t), 3.71 (2H, q), 5.40 (1H, s), 5.95 (2H, s), 6.72 (3H, m), 8.39 (1H, s).

Example 4

The Preparation of Compound 6



0.21 g (1.5 mmol) of potassium carbonate was added to a solution of 0.16 g (1.0 mmol) of 2-(benzo[d][1,3]dioxol-5-yl)ethanamine in 10 mL of DMF, followed by addition of 0.18 g (1.0 mmol) of 4,5-dichloro-6-ethylpyrimidine (the preparation refers to Example A, the difference is replacing ethyl 2-chloro-3-oxobutanoate to ethyl 2-chloro-3-oxopentanoate) under stirring, the mixture was heated to 80° C. for 2 h after addition. After the reaction was over by Thin-Layer Chromatography monitoring, the reaction mixture was poured into water and extracted with ethyl acetate, the organic phase was washed with water and saturated brine,

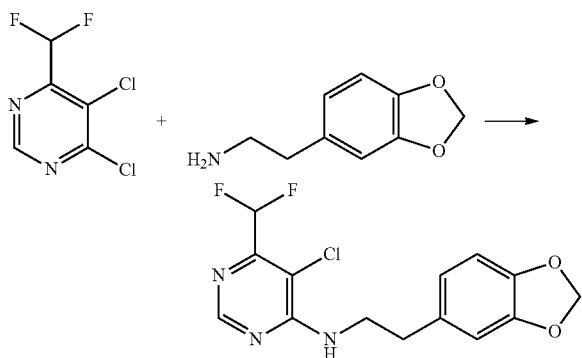
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dried, filtered and then concentrated under reduced pressure. The residue was purified through silica column (ethyl acetate/petroleum ether (boiling point range 60-90° C.)=1:4, as an eluent) to give 0.22 g of compound 6 as white solid, m.p. 116-118° C.

¹H-NMR (300 MHz, internal standard TMS, solvent CDCl₃) δ (ppm): 1.26 (3H, t), 2.77 (2H, q), 2.84 (2H, t), 3.71 (2H, q), 5.42 (1H, s), 5.95 (2H, s), 6.73 (3H, m), 8.44 (1H, s).

Example 5

The Preparation of Compound 18

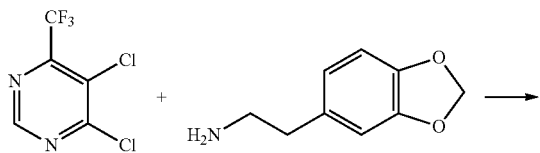


0.21 g (1.5 mmol) of potassium carbonate was added to a solution of 0.16 g (1.0 mmol) of 2-(benzo[d][1,3]dioxol-5-yl)ethanamine in 10 mL of DMF, followed by addition of 0.20 g (1.0 mmol) of 4,5-dichloro-6-(difluoromethyl)pyrimidine under stirring, the mixture was heated to 80° C. for 2 h after addition. After the reaction was over by Thin-Layer Chromatography monitoring, the reaction mixture was poured into water and extracted with ethyl acetate, the organic phase was washed with water and saturated brine, dried, filtered and then concentrated under reduced pressure. The residue was purified through silica column (ethyl acetate/petroleum ether (boiling point range 60-90° C.)=1:4, as an eluent) to give 0.26 g of compound 18 as white solid, m.p. 104-106° C.

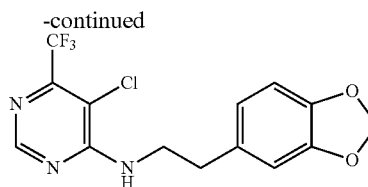
¹H-NMR (300 MHz, internal standard TMS, solvent CDCl₃) δ (ppm): 2.843-2.888 (t, 2H, Ar—CH₂), 3.731-3.797 (q, 2H, NH—CH₂), 5.65 (s, 1H, NH), 5.950 (s, 1H, O—CH₂—O), 6.652-6.784 (m, 3H, Ar—H), 6.667-7.022 (1H, F₂C—H), 8.560 (s, 1H, pyrimidine-H).

Example 6

The Preparation of Compound 20

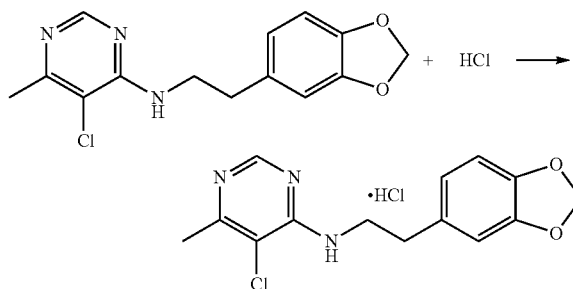


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0.21 g (1.5 mmol) of potassium carbonate was added to a solution of 0.16 g (1.0 mmol) of 2-(benzo[d][1,3]dioxol-5-yl)ethanamine in 10 mL of DMF, followed by addition of 0.22 g (1.0 mmol) of 4,5-dichloro-6-(trifluoromethyl)pyrimidine (the preparation refers to Example 2, the difference is replacing ethyl 2-chloro-4,4-difluoro-3-oxobutanoate to ethyl 2-chloro-4,4,4-trifluoro-3-oxobutanoate) under stirring, the mixture was heated to 80° C. for 2 h after addition. After the reaction was over by Thin-Layer Chromatography monitoring, the reaction mixture was poured into water and extracted with ethyl acetate, the organic phase was washed with water and saturated brine, dried, filtered and then concentrated under reduced pressure. The residue was purified through silica column (ethyl acetate/petroleum ether (boiling point range 60-90° C.)=1:4, as an eluent) to give 0.27 g of compound 20 as white solid, m.p. 99-101° C.

¹H-NMR (300 MHz, internal standard TMS, solvent CDCl₃) δ (ppm): 2.850-2.896 (t, 2H, Ar—CH₂), 3.742-3.808 (q, 2H, NH—CH₂), 5.65 (s, 1H, NH), 5.959 (s, 1H, O—CH₂—O), 6.658-6.792 (m, 3H, Ar—H), 8.564 (s, 1H, pyrimidine-H).



0.44 g (0.015 mol) of compound 5 was dissolved in 20 ml of ethanol, 10 ml of c.HCl was added, the mixture was refluxed for 4-10 h after addition. After the reaction was over by Thin-Layer Chromatography monitoring, the reaction mixture was concentrated under reduced pressure. The brown residue was washed with acetone (3×10 mL) to obtain 0.36 g of compound 1451 as white solid, yield 72.0%, m.p. 199-200° C.

¹H-NMR (300 MHz, internal standard TMS, solvent CDCl₃) δ (ppm): 2.53 (3H, s), 2.82 (2H, t), 3.71 (2H, m), 5.95 (2H, s), 6.65 (1H, m), 6.76 (2H, m), 8.39 (1H, s).

Other compounds of the present invention were prepared according to the above examples.

Physical properties and ¹HNMR spectrum (¹HNMR, 300 MHz, internal standard: TMS, ppm) of some compounds of this invention are as follows:

Compound 2: m.p. 138-140° C. δ ppm: 2.85 (t 2H), 3.73 (q, 2H), 5.95 (s, 2H), 6.71 (m, 3H), 8.29 (s, 1H).

Compound 104: brown oil. δ ppm: 2.864 (2H, s), 3.73-3.79 (2H, m), 3.96 (3H, s), 5.68 (1H, bs), 5.96 (2H, s), 6.65 (1H, d), 6.71 (1H, s), 6.77 (1H, d).

Compound 1452: m.p. 183-185° C. δ (CDCl₃): 2.30 (3H, s), 2.48 (3H, s), 2.81 (2H, m), 3.71 (2H, m), 5.94 (2H, s), 6.66 (1H, m), 6.77 (2H, m), 7.10 (2H, d), 7.48 (2H, d), 8.75 (1H, s), 9.14 (2H, s).

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Compound 1465: m.p. 206-208° C. δ (CDCl₃): 1.21 (3H, t), 2.30 (3H, s), 2.76-2.83 (4H, m), 3.70 (2H, m), 5.96 (2H, s), 6.64 (1H, d), 6.78-6.80 (2H, m), 7.10 (2H, d), 7.46 (2H, d), 8.75 (1H, bs), 8.74 (1H, s), 9.02 (1H, bs).

Biological Testing

The compounds of the present invention exhibit both excellent fungicidal activity against many fungi in agricultural field and better insecticidal activity. According to the prior art, the following compounds CK1-CK13 (wherein CK1-3, 5-13 are all unknown compounds, CK4 was disclosed in JP2006008542A and JP2004238380A) and PC-1, ACTA-1 were prepared as controls, they are listed in Table 27.

TABLE 27

The contrast compound list	
No.	Structure
CK1	
CK2	
CK3	
CK4	
CK5	
CK6	
CK7	

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TABLE 27-continued

The contrast compound list	
No.	Structure
CK8	
CK9	
CK10	
CK11	
CK12	
CK13	
PC-1	
ACTA-1	

Example 8

Fungicidal Testing

Determination of fungicidal activity in vitro and protectant activity in vivo of the compounds of the present

invention were carried out against many diseases. The fungicidal results are shown in the following examples.

(1) Determination of Fungicidal Activity in Vitro

The method is as followed: High Through Put is used in the test. The compound is dissolved in a proper solvent to become a testing solution whose concentration is designed. The solvent is selected from acetone, methanol, DMF and so on according to their dissolving capability to the sample. In a no animalcule condition, the testing solution and pathogens suspension are added into the cells of 96 cells culture board, which then should be placed in the constant temperature box. 24 hours later, pathogen germination or growth can be investigated by eyeballing, and the activity in vitro of the compound is evaluated based on germination or growth of control treatment.

The activities in vitro (inhibition rate) of some compounds are as follows:

The inhibition rate against rice blast:

At the dose of 25 mg/L, the inhibition rate of compounds 2, 18 was 100%; compound 5 was 80%; contrast compound CK1, CK2, CK4, CK5, CK6, CK7, CK9, CK10, CK13 was all 0, CK8 was 50%;

At the dose of 8.3 mg/L, the inhibition rate of compounds 2, 18 was 100%; PC-1 was 0;

At the dose of 2.8 mg/L, the inhibition rate of compounds 2, 18 was 80%;

At the dose of 0.9 mg/L, the inhibition rate of compound 18 was 80%.

The inhibition rate against cucumber gray mold:

At the dose of 25 mg/L, the inhibition rate of compound 6 was 80%; contrast compounds CK3, CK4, CK7, CK8, CK10, CK13 was all 0; CK11, PC-1, ACTA-1 was all 50%.

(2) The Determination of Protectant Activity in Vivo

The method is as followed: The whole plant is used in this test. The compound is dissolved in a proper solvent to get mother solution. The proper solvent is selected from acetone, methanol, DMF and so on according to their dissolving capability to the sample. The volume rate of solvent and testing solution (v/v) is equal to or less than 5%. The mother solution is diluted with water containing 0.1% tween-80 to get the testing solution whose concentration is designed. The testing solution is sprayed to the host plant by a special plant sprayer. The plant is inoculated with fungus after 24 hours. According to the infecting characteristic of fungus, the plant is stored in a humidity chamber and then transferred into greenhouse after infection is finished. And the other plants are placed in greenhouse directly. The activity of compound is obtained by eyeballing after 7 days in common.

The protectant activities in vivo of some compounds are as follows:

The protectant activity against cucumber downy mildew in vivo:

At the dose of 400 mg/L, the protectant activity of compounds 2, 5, 18, 20, 1451 and so on was 100%, compound 104 was 98%, compound 1452 was 95%;

At the dose of 100 mg/L, the protectant activity of compounds 2, 5, 18, 20 was 100%, compound 104 was 95%;

At the dose of 50 mg/L, the protectant activity of compounds 2, 5, 18, 20 was 100%;

At the dose of 25 mg/L, the protectant activity of compounds 2, 5, 18 was 100%;

At the dose of 12.5 mg/L, the protectant activity of compound 5 was 100%, compound 18 was 80%.

The protectant activity against corn rust in vivo:

At the dose of 400 mg/L, the protectant activity of compounds 5, 6, 18, 1465 was 100%; compound 1451 was 80%;

At the dose of 100 mg/L, the protectant activity of compounds 5, 6, 18 was 100%; compound 1465 was 95%;

At the dose of 25 mg/L, the protectant activity of compounds 5, 6 was 100%;

At the dose of 6.25 mg/L, the protectant activity of compound 5 was 100%, compound 18 was 98%; compound 6 was 90%.

The protectant activity against wheat powdery mildew in vivo:

At the dose of 400 mg/L, the protectant activity of compounds 2, 5, 6, 18 was 100%;

At the dose of 100 mg/L, the protectant activity of compounds 5, 18 was 100%, compound 6 was 95%;

At the dose of 25 mg/L, the protectant activity of compound 18 was 100%, compound 6 was 90%, compound 5 was 85%;

At the dose of 6.25 mg/L, the protectant activity of compound 18 was 100%, compound 6 was 85%.

(3) The Contrastive Tests Results of Some Compounds and Contrasts

Contrastive tests were carried out between some compounds and contrasts. The test results are listed in table 28-table 30 ("//" in the following tables means no test).

TABLE 28

The protectant activity against cucumber downy mildew				
The protectant activity (%)				
Compound No.	400 mg/L	100 mg/L	50 mg /L	25 mg /L
2	100	100	100	100
5	100	100	100	100
18	100	100	100	100
20	100	100	100	20
104	98	95	50	10
1451	100	0	0	0
1452	95	0	0	0
CK1	100	30	20	0
CK2	100	60	40	0
CK 3	0	//	//	//
CK4	0	//	//	//
CK6	80	0	//	//
CK8	98	45	20	0
CK9	50	0	//	//
CK10	0	//	//	//
CK11	30	0	//	//
CK12	50	0	//	//
CK13	0	//	//	//

TABLE 29

The protectant activity against wheat powdery mildew				
The protectant activity (%)				
Compound No.	400 mg/L	100 mg/L	25 mg /L	6.25 mg /L
5	100	100	85	75
6	100	95	90	85
18	100	100	100	100
CK1	0	//	//	//
CK2	60	60	40	0
CK 3	0	//	//	//
CK4	0	//	//	//
CK5	100	20	0	//
CK6	85	25	0	//
CK7	80	30	0	//

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TABLE 29-continued

The protectant activity against wheat powdery mildew				
Compound No.	The protectant activity (%)			
	400 mg/L	100 mg/L	25 mg /L	6.25 mg /L
CK8	100	80	10	0
CK9	70	10	0	//
CK10	0	//	//	//
CK11	0	//	//	//
CK12	0	//	//	//
CK13	0	//	//	//
PC-1	100	80	0	//
ACTA-1	0	//	//	//

TABLE 30

The protectant activity against corn rust				
Compound No.	The protectant activity (%)			
	400 mg/L	100 mg/L	25 mg/L	6.25 mg/L
5	100	100	100	100
6	100	100	100	90
18	100	100	98	30
1465	100	95	50	0
CK1	70	20	0	//
CK2	75	0	//	//
CK3	0	//	//	//
CK4	0	//	//	//
CK7	70	0	//	//
CK8	100	0	//	//
CK9	80	25	0	0
CK10	0	//	//	//
CK11	85	35	0	//
CK12	40	0	//	//
CK13	40	0	//	//
PC-1	70	20	0	//
ACTA-1	0	//	//	//

Determination of insecticidal activity of compounds of the present invention against a few insects were carried out by the following procedures;

Compounds were dissolved in mixed solvent (acetone: methanol=1:1), and diluted to required concentration with water containing 0.1% of tween 80.

Diamond back moth, armyworm, Green Peach Aphid and carmine spider mite were used as targets and the method of spraying by airbrush was used for determination of insecticidal biassays.

(1) Determination of Insecticidal Activity Against Diamond Back Moth

The method of spraying by airbrush: The cabbage leaves were made into plates of 2 cm diameter by use of punch. A test solution (0.5 ml) was sprayed by airbrush at the pressure of 0.7 kg/cm² to both sides of every plate. 10 Second instar larvae were put into the petri-dishes after the leaf disc air-dried and 3 replicates were set for each treatment. Then the insects were maintained in observation room (25° C., 60~70% R.H.). Scores were conducted and mortalities were calculated after 72 h.

Part of test results against diamond back moth:

At 600 mg/L, compounds 6, 18, 1465 showed 100% control of the second instar larvae of diamond back moth, compound 1452 showed 80% control; contrast compounds CK3, CK4, CK8, CK9, CK10, CK11, CK12, CK13, PC-1 showed 0 control, CK2 showed 20% control, CK5, ACTA-1 showed 40% control;

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At 100 mg/L, compound 6 showed 90% control of the second instar larvae of diamond back moth, contrast compound CK2 showed 5% control, CK5 showed 20% control, CK6 showed 0 control.

(2) Determination of Insecticidal Activity Against Armyworm

The method of spraying by airbrush: The corn leaves were made into plates of 2 cm diameter by use of punch. A test solution (0.5 ml) was sprayed by airbrush at the pressure of 0.7 kg/cm² to both sides of every plate. 10 Second instar larvae were put into the petri-dishes after the leaf disc air-dried and 3 replicates were set for each treatment. Then the insects were maintained in observation room (25° C., 60~70% R.H.). Scores were conducted and mortalities were calculated after 72 h.

Part of test results against armyworm:

At 600 mg/L, compound 18 showed 100% control of the armyworm, compound 6 showed 90% control; contrast compounds CK1, CK3, CK4, CK5, CK6, CK7, CK8, CK9, CK10, CK11, CK12, CK13, PC-1 showed 0 control, CK2 showed 14% control, ACTA-1 showed 40% control;

At 100 mg/L, compound 6 showed 90% control of the armyworm; contrast compound CK2 showed 0 control.

(3) Determination of Acancidal Activity Against Carmine Spider Mite

Method: Broadbean shoots with two true leaves in pot were taken, the healthy adults of carmine spider mite were inoculated to the leaves. The adults were counted and then sprayed with airbrush at the pressure of 0.7 kg/cm² and at dose of 0.5 ml. 3 replicates were set for each treatment. And then they were maintained in standard observation room. Scores were conducted and mortalities were calculated after 72 hrs.

Parts of the test results against carmine spider mite are as follows:

At the dose of 100 mg/L, compound 18 showed 90% control against carmine spider mite; Contrast compounds CK2, CK3, CK4, CK5, CK6, CK9, CK10, CK12, CK13, ACTA-1 showed 0 control, PC-1 showed 51% control, CK1 showed 39% control, CK11 showed 25% control.

(4) Determination of Activity Against Green Peach Aphid

Method: Filter papers were put in culture dishes (Diameter=6 cm), and water was dripped on filter papers for preserving moisture. Green peach aphids (*Myzus Persicae* Sulzer) were maintained on cabbage. Leaves (Diameter=3 cm) of approximately 15-30 aphids were put in the culture dishes. Bioactivity tests were used the method of Airbrush Foliar Spray, pressure=10 psi (0.7 kg/cm²), spray volume=0.5 mL. The studies were conducted at three constant temperatures 25±1 C. in incubator cabinets with 60±5% RH. Survey the survival aphids after 48 h and calculate the death rates.

Parts of the test results against green peach aphid are as follows:

At the dose of 600 mg/L, compounds 5, 6, 18, 1451, 1465 showed 100% control against Green Peach Aphid; Compound 1452 showed 90% control;

At the dose of 100 mg/L, compounds 6, 18 showed 100% control.

Contrastive tests were carried out between some compounds and contrasts. The test results are listed in table 31 ("/" in the following tables means no test).

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TABLE 31

Contrastive tests of some compounds and contrasts against peach aphid			
Compound No.	Insecticidal activity against peach aphid (%)		
	600 mg/L	100 mg/L	10 mg/L
5	100	52	0
6	100	100	100
18	100	100	68
1465	100	70	0
CK1	70	30	0
CK2	20	12	0
CK 3	0	//	//
CK4	0	//	//
CK5	100	35	8
CK6	0	//	//
CK7	66	37	0
CK8	0	//	//
CK10	0	//	//
CK11	88	0	0
CK12	10	0	0
CK13	50	0	0
PC-1	52	0	//
ACTA-1	0	//	//

Determination of Antitumor Activity

Example 10

In Vitro Cell Inhibition Assay Against Human Cancer Cell T24 (Bladder Cancer Cell Line)

The tested compounds are dissolved in DMSO and diluted to designed concentration with culture medium.

The of cell culture technology in vitro was selected to evaluate growth inhibition rate against human bladder cancer cell line T24. 1000 to 3000 cells were inoculated into 24-well plate, followed by addition of cell culture medium (1 mL) known for technicians in this field (culture medium is RMPI-1640), after cells were cultured in incubator (CO₂ 5%, 37° C.) for 24 hours, then the tested compounds with designed concentration were added to each well. One thing should be paid much attention is that the culture medium volume, of tested compound does not exceed 0.5 of total volume. After incubation for one week, the culture medium was removed, the plate wells were washed with cold PBS once, fixed with 1% formalin at room temperature for 10 minutes, and washed with cold PBS one more time, followed by stain with 0.1% crystal violet for 30 minutes. Crystal violet can be recycled. The stained cells were washed with deionized water gently, dried in the air and reserved, were then incubated for 4 h. The inhibition rate was calculated according to the left cells of each treatment and contrast.

The inhibition rate=the left cells of each treatment/the left cells of contrast×100%

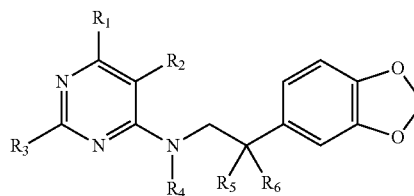
Part of the test results are as follows:

At the dose of 10 μM, the inhibition rate of compounds 5, 6 against bladder cancer cell line T24 was 100%.

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We claim:

1. A compound of formula I:



wherein:

R₁ is selected from halo, C₁-C₈alkyl, haloC₁-C₈alkyl, C₃-C₈cycloalkyl, C₁-C₈alkoxy, haloC₁-C₈alkoxy, C₃-C₈alkenyloxy, haloC₃-C₈alkenyloxy, C₃-C₈alkynyloxy, haloC₃-C₈alkynyloxy, C₁-C₈alkylsulfonyloxy, C₁-C₈alkylthio, C₁-C₈alkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈alkylamino, di(C₁-C₈alkyl)amino, cyanoC₁-C₈alkylamino, C₁-C₈alkylcarbonylamino, C₁-C₈alkoxycarbonylamino, C₁-C₈alkoxyC₁-C₈alkyl, C₁-C₈alkylthioC₁-C₈alkyl, C₁-C₈alkylsulfinylC₁-C₈alkyl, C₁-C₈alkylsulfonylC₁-C₈alkyl, hydroxyC₁-C₈alkyl or C₁-C₈alkylcarbonyloxyC₁-C₈alkyl;

R₂ is selected from H, halo, CN, NO₂, C₁-C₈alkyl, C₁-C₈alkoxy or haloC₁-C₈alkoxy;

R₃ is selected from H, halo or C₁-C₈alkyl;

R₄ is selected from H, OH, C(=O)H, C₁-C₈alkyl, haloC₁-C₈alkyl, C₁-C₈alkoxy, haloC₁-C₈alkoxy, C₃-C₈cycloalkyl, C₁-C₈alkylthio, C₂-C₈alkenylthio, C₂-C₈alkenyl, C₂-C₈alkynyl, haloC₂-C₈alkenyl, haloC₂-C₈alkynyl, C₁-C₈alkoxyC₁-C₈alkyl, haloC₁-C₈alkoxyC₁-C₈alkyl, C₁-C₈alkylthioC₁-C₈alkyl, haloC₁-C₈alkylthioC₁-C₈alkyl, C₁-C₈alkylsulfinyl, haloC₁-C₈alkylsulfinyl, C₁-C₈alkylsulfonyl, haloC₁-C₈alkylsulfonyl, C₁-C₈alkylaminosulfonyl, di(C₁-C₈alkyl)aminosulfonyl, C₁-C₈alkylsulfonylaminocarbonyl, C₁-C₈alkylcarbonylaminosulfonyl, C₃-C₈cycloalkyloxycarbonyl, C₁-C₈alkylcarbonyl, haloC₁-C₈alkylcarbonyl, C₁-C₈alkoxycarbonyl, haloC₁-C₈alkoxycarbonyl, C₁-C₈alkylcarbonylC₁-C₈alkyl, C₁-C₈alkoxycarbonylC₁-C₈alkyl, C₁-C₈alkylaminocarbonyl, di(C₁-C₈alkyl)aminocarbonyl, C₂-C₈alkenoxycarbonyl, C₂-C₈alkynoxycarbonyl, C₁-C₈alkoxyC₁-C₈alkoxycarbonyl, C₁-C₈alkylaminothio, di(C₁-C₈alkyl)aminothio, optionally substituted arylcarbonylC₁-C₆alkyl, arylcarbonyl, aryloxycarbonyl, arylC₁-C₆alkoxycarbonyl, arylC₁-C₆alkyl or heteroarylC₁-C₆alkyl, wherein substituents are independently selected from the group consisting of halo, NO₂, CN, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₄alkoxy and haloC₁-C₄alkoxy; and

R₅ and R₆ are independently selected from H, C₁-C₈alkyl, C₃-C₈cycloalkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, haloC₂-C₈alkenyl, haloC₂-C₈alkynyl, C₁-C₈alkoxyC₁-C₈alkyl, optionally substituted arylC₁-C₄alkyl or heteroarylC₁-C₄alkyl, wherein substituents are independently selected from the group consisting of halo, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy and haloC₁-C₄alkoxy;

or R₅ and R₆, together with the carbon to which they are attached, form a C₃-C₈carbocycle;

or an agricultural or pharmaceutical salt thereof.

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2. The compound according to claim 1, wherein:

R₁ is selected from halo, C₁-C₄alkyl, haloC₁-C₄alkyl, C₃-C₆cycloalkyl, C₁-C₄alkoxy, haloC₁-C₄alkoxy, C₃-C₆alkenyl, haloC₃-C₆alkenyl, C₃-C₆alkynyl, haloC₃-C₆alkynyl, C₁-C₄alkylthio, C₁-C₄alkylthio, C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfonyl, C₁-C₄alkylamino, di(C₁-C₄alkyl)amino, cyanoC₁-C₄alkylamino, C₁-C₄alkylcarbonylamino, C₁-C₄alkoxycarbonylamino, C₁-C₄alkoxyC₁-C₄alkyl, C₁-C₄alkylthioC₁-C₄alkyl, C₁-C₄alkylsulfinylC₁-C₄alkyl, C₁-C₄alkylsulfonylC₁-C₄alkyl, hydroxyC₁-C₄alkyl or C₁-C₄alkylcarbonyloxyC₁-C₄alkyl;

R₂ is selected from H, halo, CN, NO₂, C₁-C₄alkyl, C₁-C₄alkoxy or haloC₁-C₄alkoxy;

R₃ is H;

R₄ is selected from H, OH, C(=O)H, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy, haloC₁-C₄alkoxy, C₃-C₆cycloalkyl, C₁-C₄alkylthio, C₂-C₄alkenylthio, C₂-C₄alkynyl, haloC₂-C₄alkenyl, haloC₂-C₄alkynyl, C₁-C₄alkoxyC₁-C₄alkyl, haloC₁-C₄alkoxyC₁-C₄alkyl, C₁-C₄alkylthioC₁-C₄alkyl, haloC₁-C₄alkylthioC₁-C₄alkyl, C₁-C₄alkylsulfinyl, haloC₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl, haloC₁-C₄alkylsulfonyl, C₁-C₄alkylaminosulfonyl, di(C₁-C₄alkyl)aminosulfonyl, C₁-C₄alkylsulfonylaminocarbonyl, C₁-C₄alkylcarbonylaminosulfonyl, C₃-C₆cycloalkylcarbonyl, C₁-C₄alkylcarbonyl, haloC₁-C₄alkylcarbonyl, C₁-C₄alkoxycarbonyl, haloC₁-C₄alkoxycarbonyl, C₁-C₄alkylcarbonylC₁-C₄alkyl, C₁-C₄alkoxycarbonylC₁-C₄alkyl, C₁-C₄alkylaminocarbonyl, di(C₁-C₄alkyl)aminocarbonyl, C₂-C₄alkenoxycarbonyl, C₂-C₄alkynoxycarbonyl, C₁-C₄alkoxyC₁-C₄alkoxycarbonyl, C₁-C₄alkylaminothio, di(C₁-C₄alkyl)aminothio, optionally substituted arylcarbonylC₁-C₄alkyl, arylcarbonyl, aryloxy carbonyl, arylC₁-C₄alkoxycarbonyl, arylC₁-C₄alkyl or heteroarylC₁-C₄alkyl, wherein substituents are independently selected from the group consisting of halo, NO₂, CN, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy and haloC₁-C₄alkoxy; and

R₅ and R₆ are independently selected from H, C₁-C₄alkyl, C₃-C₆cycloalkyl, C₂-C₄alkenyl, C₂-C₄alkynyl, haloC₂-C₄alkenyl, haloC₂-C₄alkynyl, C₁-C₄alkoxyC₁-C₄alkyl, optionally substituted arylC₁-C₄alkyl or heteroarylC₁-C₄alkyl, wherein substituents are independently selected from the group consisting of halo, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy and haloC₁-C₄alkoxy;

or R₅ and R₆, together with the carbon to which they are attached, form a C₃-C₈carbocycle;

or an agricultural or pharmaceutical salt thereof selected from the group consisting of hydrochloric acid, sulfuric acid, phosphoric acid, formic acid, acetic acid, trifluoroacetic acid, oxalic acid, methylsulfonic acid, p-toluenesulfonic acid, benzoic acid, alizaric acid, maleic acid, sorbic acid, malic acid and citric acid.

3. The compound according to claim 2, wherein:

R₁ is selected from halo, C₁-C₄alkyl, CF₃, CHF₂, CCl₃, CHCl₂, C₃-C₆cycloalkyl, C₁-C₄alkoxy, haloC₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl, C₁-C₄alkoxyC₁-C₄alkyl or C₁-C₄alkylthioC₁-C₄alkyl;

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R₂ is selected from H, halo, CN, NO₂, C₁-C₄alkyl, C₁-C₄alkoxy or haloC₁-C₄alkoxy;

R₃ is H;

R₄ is selected from H, OH, C(=O)H, C₁-C₄alkyl, C₃-C₆cycloalkyl, optionally substituted arylcarbonylC₁-C₄alkyl, arylcarbonyl, aryloxy carbonyl, arylC₁-C₄alkoxycarbonyl, arylC₁-C₄alkyl or heteroarylC₁-C₄alkyl, wherein substituents are independently selected from the group consisting of halo, NO₂, CN, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy and haloC₁-C₄alkoxy; and

R₅ and R₆ are independently selected from H or C₁-C₄alkyl;

or an agricultural or pharmaceutical salt thereof selected from the group consisting of hydrochloric acid, sulfuric acid, phosphoric acid, formic acid, acetic acid, trifluoroacetic acid, oxalic acid, methylsulfonic acid, p-toluenesulfonic acid, benzoic acid, alizaric acid, maleic acid, sorbic acid, malic acid and citric acid.

4. The compound according to claim 3, wherein:

R₁ is selected from halo, C₁-C₄alkyl, CF₃, CHF₂ or C₃-C₆cycloalkyl;

R₂ is selected from H, halo, CN, NO₂ or C₁-C₄alkyl;

R₃ is H;

R₄ is H; and

R₅ and R₆ are independently selected from H, CH₃ or CH₂CH₃;

or an agricultural or pharmaceutical salt thereof selected from the group consisting of hydrochloric acid, sulfuric acid, phosphoric acid, formic acid, acetic acid, trifluoroacetic acid, oxalic acid, methylsulfonic acid, p-toluenesulfonic acid, benzoic acid, alizaric acid, maleic acid, sorbic acid, malic acid and citric acid.

5. The compound according to claim 4, wherein:

R₁ is selected from F, Cl, Br, CH₃, CH₂CH₃, (CH₂)₂CH₃, CH(CH₃)₂, (CH₂)₃CH₃, CH₂CH(CH₃)₂, C(CH₃)₃, CF₃, CHF₂ or cyclopropyl;

R₂ is Cl;

R₃ is H;

R₄ is H; and

R₅ and R₆ are independently selected from H, CH₃ or CH₂CH₃;

or an agricultural or pharmaceutical salt thereof selected from the group consisting of hydrochloric acid, sulfuric acid, phosphoric acid, formic acid, acetic acid, trifluoroacetic acid, oxalic acid, methylsulfonic acid, p-toluenesulfonic acid, benzoic acid, alizaric acid, maleic acid, sorbic acid, malic acid and citric acid.

6. The compound according to claim 5, wherein:

R₁ is selected from Cl, CH₃, CH₂CH₃, CF₃ or CHF₂;

R₂ is Cl;

R₃ is H;

R₄ is H;

R₅ is H; and

R₆ is H;

or an agricultural or pharmaceutical salt thereof selected from the group consisting of hydrochloric acid, sulfuric acid, phosphoric acid, trifluoroacetic acid, methylsulfonic acid and p-toluenesulfonic acid.

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7. A composition comprising a compound according to claim 1 as an active ingredient and an agriculturally acceptable carrier.

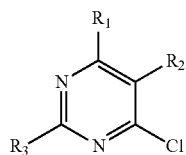
8. A method of treating a fungal condition in a subject, comprising administering to said subject an effective amount of a compound according to claim 1.

9. A method of treating crops, comprising contacting said crops with an effective amount of a compound according to claim 1.

10. A method for treating tumors in a subject, comprising administering to said subject an effective amount of a compound according to claim 1.

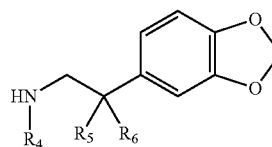
11. A method for the preparation of a compound of formula I according to claim 1, comprising:

reacting a compound of formula II:

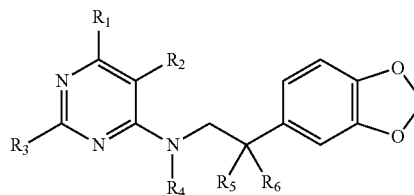


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wherein R₁, R₂ and R₃ are as defined in claim 1; with a compound of formula III:



wherein R₄, R₅ and R₆ are as defined in claim 1; to provide a compound of formula I:



wherein R₁, R₂, R₃, R₄, R₅ and R₆ are as defined in claim 1.

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